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NODE ATTRIBUTES:

NSPEC IS RC AT 7
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

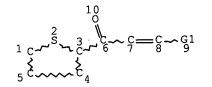
RSPEC I

NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L11 2111 SEA FILE=REGISTRY SSS FUL L9

L23 STR



NH~Ak

VAR G1=NH2/11 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

DIDIG	111 11(12011					
L26	54	SEA	FILE=REGISTRY	Y SUB=L11	L SSS FU	L L23
L27	40	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L26
L28	36	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L27 AND PREP/RL
L29	78	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	KOGAMI, K?/AU
L30	5	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	HAYASHIZAKA, N?/AU
L31	421	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	SATAKE, S?/AU
L32	2	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	FUSEYA, I?/AU .
L33	37	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	KAGANO, H?/AU
L34	1	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L29 AND L30 AND L31 AND
		L32	AND L33			
L35	1	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	((L29 OR L30 OR L31 OR
		L32	OR L33)) AND	L27		
L36	4	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	((L29 OR L30 OR L31 OR
		L32	OR L33)) AND	THIENYL	?	

4 SEA FILE=HCAPLUS ABB=ON PLU=ON L34 OR L35 OR L36 L37

L38 35 SEA FILE=HCAPLUS ABB=ON PLU=ON L28 NOT L37

=> d 138 1-35 ibib ed abs hitstr hitind YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L38 ANSWER 1 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

2006:474520 HCAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 146:45422

TITLE: Synthesis of substituted isoxazolones and

isoxazoles from cyanoenaminones

AUTHOR(S): Mahalanabis, Kumar K.; Chowdhury, S. K. Dutta;

Sarkar, Mili; Misra, Manisha

CORPORATE SOURCE: Department of Chemistry, Jadavpur University,

Kolkata, 700 032, India

Journal of Chemical Research (2006), (2), 78-80 SOURCE:

CODEN: JCROA4

Science Reviews PUBLISHER:

Journal DOCUMENT TYPE: English LANGUAGE: Entered STN: 22 May 2006 ED

 α -Cyano- β -enaminones, obtained by regioselective acylation of β -AΒ aminocrotononitrile, are smoothly and regiospecifically converted into

substituted 5-isoxazolones, which on alkaline hydrolysis afford 4-acyl-3-

substituted-5-hydroxyisoxazoles in good to excellent yields.

756531-35-8 IT

(preparation of substituted isoxazolones and isoxazoles from

 α -cyano- β -enaminones and hydroxylamine hydrochloride)

RN 756531-35-8 HCAPLUS

2-Thiophenepropanenitrile, α -(1-aminoethylidene)- β -oxo-CN

(9CI) (CA INDEX NAME)

28-6 (Heterocyclic Compounds (More Than One Hetero Atom)) CC

1113-72-0 756531-29-0 756531-30-3 756531-32-5 756531-34-7 IT

916612-48-1 916612-49-2 756531-37-0 756531-35-8

916612-50-5

(preparation of substituted isoxazolones and isoxazoles from

 α -cyano- β -enaminones and hydroxylamine hydrochloride)

32 REFERENCE COUNT:

THERE ARE 32 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L38 ANSWER 2 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN .

2005:1283908 HCAPLUS Full-text ACCESSION NUMBER:

144:170660 DOCUMENT NUMBER:

One-pot conversion of β -aminocrotononitrile TITLE:

to secondary enaminonitriles including chiral

ones. application to synthesis

AUTHOR(S): Chatterjee, A.; Mishra, M.; Chowdhury, S. K.

Dutta; Mahalanabis, Kumar K.

CORPORATE SOURCE: Department of Chemistry, Jadavpur University,

Kolkata, 700 032, India

SOURCE: Canadian Journal of Chemistry (2005), 83(8),

1164-1170

CODEN: CJCHAG; ISSN: 0008-4042

PUBLISHER: National Research Council of Canada

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:170660

ED Entered STN: 08 Dec 2005

AB A highly efficient one-pot conversion of β -aminocrotononitrile to secondary enaminonitriles including chiral ones is described. In contrast to β -aminocrotononitrile, some of these N-substituted β -enaminonitriles on reacting with acid chlorides show a unique preference for C-terminal selection allowing preparation of pyrazoles without separation of regioisomers. In addition, use of secondary enaminonitriles also provided access to pyrazoles that are not obtainable with primary enaminonitriles owing to an exclusive preference for N-terminal selection.

IT 874272-58-9P

(acylation of benzylaminocrotononitrile with acid chloride)

RN 874272-58-9 HCAPLUS

CN 2-Thiophenepropanenitrile, β -oxo- α -[1-

[(phenylmethyl)amino]ethylidene]-, (α Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

CC 23-19 (Aliphatic Compounds)

IT 874272-55-6P 874272-56-7P 874272-57-8P 874272-58-9P

874272-59-0P

(acylation of benzylaminocrotononitrile with acid chloride)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L38 ANSWER 3 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1271087 HCAPLUS Full-text

DOCUMENT NUMBER: 144:170909

TITLE: A diversity oriented four-component approach to

tetrahydro- β -carbolines initiated by

Sonogashira coupling

AUTHOR(S): Karpov, Alexei S.; Rominger, Frank; Mueller,

Thomas J. J.

CORPORATE SOURCE: Organisch-Chemisches Institut der

Ruprecht-Karls-Universitaet Heidelberg,

Heidelberg, D-69120, Germany

SOURCE: Organic & Biomolecular Chemistry (2005), 3(24),

4382-4391

CODEN: OBCRAK; ISSN: 1477-0520

PUBLISHER:

Royal Society of Chemistry

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 144:170909

ED Entered STN: 05 Dec 2005

GΙ

$$\begin{array}{c}
 & R^1 \\
 & N \\
 & N \\
 & R^2 \\
 & R^3
\end{array}$$

AB A consecutive four-component synthesis of highly-substituted tetrahydro- β -carbolines I [R1 = H, MeO2C; R2 = H, n-Bu, Ph, Me3CSiMe2OCH2; R3 = Me2CH, 2-thienyl, 4-O2NC6H4, 4-MeOC6H4, 1-phenylsulfonyl-3-indolyl; R4, R5 = H, Me] can be achieved by a coupling-amination-aza-annulation-Pictet-Spengler (CAAPS) sequence creating five new σ -bonds and four new stereocenters in a one-pot fashion. The structures were unambiguously supported by X-ray structure analyses.

IT 874634-31-8P

(stereoselective preparation of functionalized tetrahydro- β -carbolines by Sonogashira coupling-initiated four-component coupling of aroyl chlorides, α -alkynes, indolyl amines and α,β -unsatd. acyl chlorides and their crystal structures)

RN 874634-31-8 HCAPLUS

CN 2-Hepten-1-one, 3-[[2-(1H-indol-3-yl)ethyl]amino]-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

45

Section cross-reference(s): 75

IT 874634-31-8P

(stereoselective preparation of functionalized tetrahydro- β -carbolines by Sonogashira coupling-initiated four-component coupling of aroyl chlorides, $\alpha\text{--alkynes}$, indolyl amines and

 α, β -unsatd. acyl chlorides and their crystal structures)

REFERENCE COUNT:

THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 4 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:1037091 HCAPLUS Full-text

DOCUMENT NUMBER:

142:23180

TITLE:

Process for producing optically active

N-monoalkyl-3-hydroxy-3-arylpropylamine compound

and intermediate

INVENTOR(S):

Iwakura, Kazunori; Higashii, Takayuki; Bando,

Seiji

PATENT ASSIGNEE(S):

Sumitomo Seika Chemicals Co. Ltd., Japan

PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

applicant

•	PAT	ENT I	. O <i>l</i> .			KIN	D	DATE		1	APPL:	ICAT:	I NOI	NO.		D2	ATE
							-								20040511		
	WO	2004.	1039	90		AΙ		2004	1202	,	NO Z	004-	JPOOL	JZ		. 21	0040511
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,
		•	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
			GB,	GD,	GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	ΚE,	KG,	ΚP,	KR,
			ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
			MZ,	NA,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
			SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
			VN,	YU,	ZA,	ZM,	zw					•					
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,
			DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,
			PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,
			GW,	ML,	MR,	ΝE,	SN,	TD,	TG								
	JΡ	2004	3460	80		Α		2004	1209		JP 2	003-	1447	42		. 2	0030522
PRIO	RITY	APP	LN.	INFO	.:						JP 2	003-	1447	42	1	A 2	0030522

OTHER SOURCE(S): CASREACT 142:23180; MARPAT 142:23180

ED Entered STN: 03 Dec 2004

There is provided a process for producing an optically active N-monoalkyl-3-AΒ oxo-3-arylpropylamine compound represented by the formula ArC*H(OH)CH2CH2NHR1 (wherein symbol * indicates an asym. carbon atom; R1 represents optionally substituted C1-5 alkyl; Ar represents optionally substituted aryl or heteroaryl) characterized by asym. reducing a (Z)-protected-N-monoalkyl-3-oxo-3-arylpropenylamine compound represented by the formula (Z)-ArCOCH:CHNR1R2 (wherein Ar and R1 are same as defined above; R2 represents an aminoprotecting group) with an asym. catalyst to give an optically active compound represented by the formula ArC*H(OH)CH2CH2NR1R2 (wherein the symbol *, Ar, R1, and R2 are same as defined above) and successively eliminating the protective group (R2). Thus, 16.7 g (Z)-N-methyl-3-oxo-3-(2- thienyl) propenylamine was acylated by 16.4 g iso-Bu chlorocarbonate in the presence of 1.2 g 4dimethylaminopyridine and 12.1 g Et3N in 200 mL tert-Bu Me ether at 50° for 28 h to give 22.0 g N-methyl-N-isobutoxycarbonyl-[(Z)-3-oxo-3-(2-oxo-3)]thienyl)propenyl]amine (I). I (33.8 mg) was stirred in 2-propanol in the presence of potassium tert-butoxide and 2.3 mg [(S)-N-phenyl-2azetidinecarboxamide]ruthenium(p-cymene) chloride (REG 543689-61-8) at 80° for 4 h to give 84% N-methyl-N-isobutoxycarbonyl-3-hydroxy- 3-(2thienyl)propylamine which (114.8 mg) was treated with a mixture of 0.2 g 30weight% aqueous NaOH and 5 mL 2-propanol at 30° for 24 h to give N-methyl-3hydroxy-3-(2-thienyl)propylamine (50% ee). ΙT

663603-70-1, N-Methyl-[(Z)-3-oxo-3-(2-thienyl)propenyl]amine (preparation of optically active N-monoalkyl-3-hydroxy-3-arylpropylamine compound by asym. reduction of aminovinyl aryl or heteroaryl ketone and

deprotection)

RN 663603-70-1 HCAPLUS

CN 2-Propen-1-one, 3-(methylamino)-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX

Double bond geometry as shown.

IC ICM C07D333-20

ICS C07B053-00; C07M007-00

CC 27-8 (Heterocyclic Compounds (One Hetero Atom))

IT 543-27-1, Isobutyl chlorocarbonate 663603-70-1,

N-Methyl-[(Z)-3-oxo-3-(2-thienyl)propenyl]amine

(preparation of optically active N-monoalkyl-3-hydroxy-3-arylpropylamine compound by asym. reduction of aminovinyl aryl or heteroaryl ketone and deprotection)

REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L38 ANSWER 5 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:574585 HCAPLUS <u>Full-text</u>

DOCUMENT NUMBER:

141:260612

TITLE:

Synthesis of novel 3,5-disubstituted-4-

isothiazolecarbonitriles

AUTHOR(S):

Mishra, Manisha; Dutta Chowdhury, S. K.;

Mahalanabis, Kumar K.

CORPORATE SOURCE:

Department of Chemistry, Jadavpur University,

Kolkata, India

SOURCE:

Synthetic Communications (2004), 34(14), 2681-2689

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER:

Marcel Dekker, Inc.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 141:260612

ED Entered STN: 19 Jul 2004

AB α -Cyano- β -enaminones, obtained by regioselective acylation of β -enaminonitriles, were smoothly converted to thiones which on oxidative cyclization afforded 3,5-disubstituted-4- isothiazolecarbonitriles in good to excellent yields.

IT 756531-35-8

(preparation of 3,5-disubstituted-4-isothiazolecarbonitriles starting from α -cyano- β -enaminones via oxidative cyclization of thiones)

RN 756531-35-8 HCAPLUS

CN 2-Thiophenepropanenitrile, α -(1-aminoethylidene)- β -oxo-(9CI) (CA INDEX NAME)

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S C C NH2 C Me
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CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 1113-72-0 33831-49-1 223469-39-4 756531-29-0 756531-30-3

756531-31-4 756531-32-5 756531-33-6 756531-34-7

756531-35-8 756531-36-9 756531-37-0

(preparation of 3,5-disubstituted-4-isothiazolecarbonitriles starting from $\alpha\text{-cyano-}\beta\text{-enaminones}$ via oxidative cyclization of

thiones)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L38 ANSWER 6 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:326179 HCAPLUS Full-text

DOCUMENT NUMBER:

140:339187

TITLE:

Preparation of optically active amino alcohols by

asymmetric hydrogenation of enaminones.

INVENTOR(S):

Yokozawa, Tohru; Yagi, Kenji; Saito, Takao

PATENT ASSIGNEE(S):

Japan

SOURCE:

Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE		APPLICATION NO.	DATE		
EP 1411045	A1	20040421	EP 2003-23628	20031016		
R: AT, BE, CH,	DE, DK	, ES, FR, G	GB, GR, IT, LI, LU,	NL, SE, MC,		
PT, IE, SI,	LT, LV	, FI, RO, M	MK, CY, AL, TR, BG,	CZ, EE, HU, SK		
JP 2004155770	Α	20040603	JP 2003-339801	20030930		
US <u>20</u> 04082794	A1	20040429	US 2003-686598	20031017		
US 6984738	B2	20060110				
PRIORITY APPLN. INFO.:			JP 2002-305147	A 20021018		

OTHER SOURCE(S): MARPAT 140:339187

ED Entered STN: 22 Apr 2004

AB Optically active R1CH(OH)CHR2CHR3NHR4 [R1 = (substituted) hydrocarbyl, heteroaryl, heterocyclyl; R2, R3 = H, (substituted) hydrocarbyl, acyl, acyloxy, alkoxycarbonyl, aralkoxycarbonyl, aryloxycarbonyl, heteroaryl, heterocyclyl; R4 = H, protecting group; ≥2 of R1-R4 may be bonded to each other to form a ring; with provisos], were prepared by asym. hydrogenation of cis- or trans-R1COCR2:CR3NHR4 (variables as above). Thus, 3-methylamino-1-thiophen-2-ylpropenone, RuCl2[(R)-DM-binap][(R)-daipen] [DM-binap = 2,2'-bis[bis(3,5-dimethylphenyl)phosphino]-1,1'-binaphthyl; daipen = 1,2-di(4-anisyl)-2-isopropyl-1,2-ethylenediamine], and K2CO3 in Me2CHOH were autoclaved under 2.5 MPa H2 at 30° for 18 h to give 79.2% (S)-3-methylamino-1-(2-thienyl)propan-1-ol.

IT 680193-02-6

(preparation of optically active amino alcs. by asym. hydrogenation of enaminones)

RN 680193-02-6 HCAPLUS

CN 2-Propen-1-one, 3-(methylamino)-1-(2-thienyl)- (9CI) (CA INDEX NAME)

IC ICM C07C213-00

ICS C07D333-20

CC 27-8 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 25

877-50-9 **680193-02-6** ΙT

(preparation of optically active amino alcs. by asym. hydrogenation of

enaminones)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

HCAPLUS COPYRIGHT 2007 ACS on STN L38 ANSWER 7 OF 35

ACCESSION NUMBER: 2004:9866 HCAPLUS Full-text

DOCUMENT NUMBER: 140:181405

TITLE: Straightforward novel one-pot enaminone and

pyrimidine syntheses by coupling-addition-

cyclocondensation sequences

Karpov, Alexei S.; Mueller, Thomas J. J. AUTHOR(S):

CORPORATE SOURCE: Organisch-Chemisches Institut der

Ruprecht-Karls-Universitaet Heidelberg,

Heidelberg, 69120, Germany

Synthesis (2003), (18), 2815-2826 SOURCE:

CODEN: SYNTBF; ISSN: 0039-7881

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

English LANGUAGE:

CASREACT 140:181405 OTHER SOURCE(S):

ED Entered STN: 07 Jan 2004

GΙ

One-pot, three-component syntheses of enaminones, e.g., I, and pyrimidines, AΒ e.g., II, are reported. The coupling of acid chlorides with terminal alkynes, under modified Sonogashira conditions, followed by addition of primary or secondary amines gave enaminones in excellent yield. 2,4-Di- and 2,4,6-

trisubstituted pyrimidines were synthesized, in moderate to good yields, by a one-pot coupling-addition- cyclocondensation sequence of acid chlorides, terminal alkynes and amidine salts.

IT 658699-76-4P 658699-77-5P 658699-78-6P

(stereoselective preparation of enaminones via Sonogashira coupling of acid chlorides with terminal alkynes followed by stereoselective conjugate addition of amines)

RN 658699-76-4 HCAPLUS

CN 2-Propen-1-one, 3-(butylamino)-3-phenyl-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 658699-77-5 HCAPLUS

CN 2-Propen-1-one, 3-phenyl-3-[(phenylmethyl)amino]-1-(2-thienyl)-, (2Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 658699-78-6 HCAPLUS

CN 2-Propen-1-one, 3-[[2-(1H-indol-3-yl)ethyl]amino]-3-phenyl-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

55

¥.

IT 23674-58-0P 70008-81-0P 145799-91-3P 658699-71-9P 658699-72-0P 658699-73-1P 658699-74-2P 658699-75-3P **658699-76-4P**

658699-77-5P 658699-78-6P

(stereoselective preparation of enaminones via Sonogashira coupling of acid chlorides with terminal alkynes followed by stereoselective conjugate addition of amines)

REFERENCE COUNT:

THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L38 ANSWER 8 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2003:110371 HCAPLUS Full-text

DOCUMENT NUMBER: 140:27448

TITLE: Gold catalysis in the reaction of 1,3-dicarbonyls

with nucleophiles

AUTHOR(S): Arcadi, A.; Bianchi, G.; Di Giuseppe, S.;

Marinelli, F.

CORPORATE SOURCE: Dipartimento di Chimica Ingegneria Chimica,

Materiali della Facolta di Scienze, Universita degli Studi dell'Aquila, L'Aquila, 67100, Italy

SOURCE: Green Chemistry (2003), 5(1), 64-67

CODEN: GRCHFJ; ISSN: 1463-9262

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:27448

ED Entered STN: 13 Feb 2003

AB Environmentally friendly, efficient Au(III)-catalyzed synthesis of β -enaminones from 1,3-dicarbonyl compds. and amines is reported. The method is extended to include reaction of cyclic 1,3-dicarbonyls with O-, P- and S-nucleophiles.

IT 634195-90-7P

(preparation by dicarbonyl compound amination using NaAuCl4 as catalyst)

RN 634195-90-7 HCAPLUS

CN 2-Buten-1-one, 3-(butylamino)-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX NAME)

CC 21-2 (General Organic Chemistry)

IT 1118-66-7P 1128-85-4P 4531-60-6P, 4-Morpholino-3-penten-2-one 5220-49-5P, 3-Amino-2-cyclohexen-1-one 15424-17-6P 66894-73-3P 128942-78-9P 634195-85-0P 634195-88-3P 634195-90-7P

(preparation by dicarbonyl compound amination using NaAuCl4 as catalyst)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L38 ANSWER 9 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2002:767112 HCAPLUS Full-text

DOCUMENT NUMBER: 138:169596

TITLE: Studies on β -enaminonitriles: Part IV -

reaction of β -enaminonitriles with acid

chlorides

AUTHOR(S): Mahalanabis, Kumar K.; Sarkar, Mili; Chowdhury, S.

K. Dutta; Ghosal, C. R.

CORPORATE SOURCE: Department of Chemistry, Jadavpur University,

Kolkata, 700 032, India

SOURCE: Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (2002),

41B(9), 1902-1906

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:169596

ED Entered STN: 09 Oct 2002

AB Acylation of β -aminocrotononitrile with saturated acid chlorides in the presence of pyridine produces highly contrasting results. Thus, acylation with saturated straight-chain aliphatic acid chlorides shows exclusive preference for C-acylation whereas branched-chain acid chlorides shows a complete reversal of site selection giving only the corresponding N-acylated products. However, with aromatic acid chlorides no such clear-cut preference for regionselection has been observed. The position as well as the nature of the substituents are found to be critical in determining the site of acylation. With heteroarom, acid chlorides the regionselection is found to be dependent on the nature of the heteroatom present in the ring.

IT 497084-26-1P

(C-acylation and N-acylation of β -enaminonitrile with acid. chlorides)

RN 497084-26-1 HCAPLUS

CN 2-Thiophenepropanenitrile, α -(1-aminoethylidene)- β -oxo-, (α Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

CC 21-2 (General Organic Chemistry)

27036-88-0P 497084-15-8P 497084-16-9P 497084-17-0P TΤ 497084-19-2P 497084-20-5P 497084-21-6P 497084-18-1P 497084-22-7P 497084-23-8P 497084-24-9P 497084-25-0P 497084-29-4P 497084-26-1P 497084-27-2P 497084-28-3P 497084-33-0P 497084-31-8P 497084-32-9P 497084-30-7P 497084-37-4P 497084-36-3P 497084-34-1P 497084-35-2P 497084-39-6P 497084-40-9P 497084-41-0P 497084-38-5P 497084-42-1P 497084-43-2P

(C-acylation and N-acylation of β -enaminonitrile with acid chlorides)

REFERENCE COUNT:

30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 10 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2000:753159 HCAPLUS Full-text

DOCUMENT NUMBER:

134:56649

TITLE:

AUTHOR(S):

Reactions of β -amino- β -

polyfluoroalkylvinyl ketones with

diethylenetriamine. Simple synthesis of

1,4,8-triazabicyclo[5.3.0]dec-4-ene derivatives Sosnovskikh, V. Ya.; Kutsenko, V. A.; Yatluk, Yu.

G

CORPORATE SOURCE: A. M. Gorky Ural State University, Yekaterinburg,

620083, Russia

Russian Chemical Bulletin (Translation of SOURCE:

Izvestiya Akademii Nauk, Seriya Khimicheskaya)

(2000), 49(8), 1426-1429

CODEN: RCBUEY; ISSN: 1066-5285

PUBLISHER:

Consultants Bureau

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 134:56649

Entered STN: 26 Oct 2000

 β -Amino- β -polyfluoroalkylvinyl aryl(hetaryl) ketones react with AΒ

diethylenetriamine to form derivs. of a new 1,4,8- triazabicyclo[5.3.0]dec-4-

IT 70204-09-0 76165-57-6

(reactions of β -amino- β -polyfluoroalkylvinyl ketones with

diethylenetriamine)

70204-09-0 HCAPLUS RN

2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX CN.

RN 76165-57-6 HCAPLUS

2-Penten-1-one, 3-amino-4,4,5,5-tetrafluoro-1-(2-thienyl)- (9CI) CN

INDEX NAME)

$$S \longrightarrow C - CH = C - CF_2 - CHF_2$$

CC 28-21 (Heterocyclic Compounds (More Than One Hetero Atom))

ΙT 111-40-0, Diethylenetriamine 70168-22-8 70204-09-0

76165-57-6 77855-06-2 80070-76-4 80070-77-5 80070-78-6

80070-79-7 313988-21-5 313988-22-6 313988-23-7

(reactions of β -amino- β -polyfluoroalkylvinyl ketones with

diethylenetriamine)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

HCAPLUS COPYRIGHT 2007 ACS on STN L38 ANSWER 11 OF 35

ACCESSION NUMBER:

2000:62202 HCAPLUS Full-text

DOCUMENT NUMBER:

132:237031

TITLE:

Reactions of tetrasulfur tetranitride antimony

pentachloride complex (S4N4 SbCl5) with primary

 β -enaminones and β -enamino esters: Synthesis of 4-substituted 3-aroyl- and 3-ethoxycarbonyl-1,2,5-thiadiazoles

AUTHOR(S):

Bae, Su-Hak; Kim, Kyongtae; Park, Young Ja

CORPORATE SOURCE:

Department of Chemistry, Seoul National

University, Seoul, 151-742, S. Korea SOURCE:

Heterocycles (2000), 53(1), 159-172

CODEN: HTCYAM; ISSN: 0385-5414

Japan Institute of Heterocyclic Chemistry PUBLISHER:

DOCUMENT TYPE: Journal English LANGUAGE:

OTHER SOURCE(S): CASREACT 132:237031

Entered STN: 26 Jan 2000

The reaction of S4N4.SbCl5 with 3-amino-3-alkyl-1-aryl-2-propenones and 3-AB amino-1,3-diaryl-2-propenones in toluene at 100° produced 4-substituted 3aroyl-1,2,5-thiadiazoles in 12-57% yield. Similarly treatment of β -enamino esters with S4N4.SbCl5 under the same conditions gave 3-aryl-1,2,5thiadiazole-4-carboxylates in 41-54% yield. The formation of the products may be explained by the same mechanism as that proposed for the formation of 1,2,5-thiadiazoles from 5-substituted 3-alkyl- and 3-aryl-isoxazoles and S4N4.SbCl5.

ΙT 70204-09-0

> (preparation of thiadiazoles by reaction of sulfur nitride-antimony chloride complex with primary β -enaminones and β -enamino esters)

70204-09-0 HCAPLUS RN

2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) CN

28-10 (Heterocyclic Compounds (More Than One Hetero Atom)) CC

14274-66-9, Tetrasulfur 14088-42-7 6288-56-8 1128-85-4

33831-72-0 tetranitride antimony pentachloride complex 70168-22-8

90956-79-9 91108-05-3 70204-09-0 90788-35-5

261730-43-2 212761-76-7 261730-42-1 143253-14-9 136757-04-5 261730-48-7

261730-46-5 261730-47-6 261730-45-4 261730-44-3 261730-51-2; 261730-55-6 261730-50-1

261730-49-8 (preparation of thiadiazoles by reaction of sulfur nitride-antimony chloride complex with primary β -enaminones and β -enamino

esters)

THERE ARE 8 CITED REFERENCES AVAILABLE FOR REFERENCE COUNT: 8

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L38 ANSWER 12 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2000:17437 HCAPLUS Full-text

DOCUMENT NUMBER:

132:166176

TITLE:

Reactions of 3-amino-1-phenyl- and

3-amino-1-(2-thienyl)-4,4,4-trifluorobut-2-en-1-

ones with 1,2-diaminopropane and 1,2-diamino-3,3,3-trifluoropropane

AUTHOR(S):

Sosnovskikh, V. Ya.; Kutsenko, V. A.; Aizikovich,

A. Ya.; Korotaev, V. Yu.

CORPORATE SOURCE:

A. M. Gorky Ural State University, Yekaterinburg,

620083, Russia

SOURCE:

Russian Chemical Bulletin (Translation of Izvestiya Akademii Nauk, Seriya Khimicheskaya)

(1999), 48(11), 2112-2116 CODEN: RCBUEY; ISSN: 1066-5285

PUBLISHER:

Consultants Bureau

DOCUMENT TYPE:

Journal English

LANGUAGE:

Entered STN: 09 Jan 2000

The reactions of 3-amino-1-phenyl- and 3-amino-1-(2-thienyl)-4,4,4trifluorobut-2-en-1-ones with 1,2-diaminopropane under kinetically controlled conditions afford mixts. of cis and trans isomers of 2-aroylmethyl-4-methyl-2-. trifluoromethylimidazolidines. Analogous reactions with 1,2-diamino-3,3,3trifluoropropane yield cis-2-aroylmethyl-2,4-

bis(trifluoromethyl)imidazolidines.

259138-20-0P 259138-30-2P IT

(preparation of)

259138-20-0 HCAPLUS RN

Acetic-d3 acid-d, compd. with 3-[[2-(amino-d2)propyl]amino-d]-4,4,4-CN trifluoro-1-(2-thienyl)-2-buten-1-one (1:1) (9CI) (CA INDEX NAME)

CM

259138-19-7 CRN

CMF C11 H10 D3 F3 N2 O S

CM

CRN 1186-52-3 CMF C2 D4 O2

259138-30-2 HCAPLUS RN

Acetic-d3 acid-d, compd. with 3-[[2-(amino-d2)-1-methylethyl]amino-d]-CN 4,4,4-trifluoro-1-(2-thienyl)-2-buten-1-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259138-29-9

CMF C11 H10 D3 F3 N2 O S

CM 2

CRN 1186-52-3 CMF C2 D4 O2

D-0-C-CD3

IT 240417-72-5

(reaction with propanediamine and trifluoropropanediamine)

RN 240417-72-5 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thieny1)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 259138-14-2P 259138-16-4P 259138-18-6P **259138-20-0P**

259138-21-1P 259138-22-2P 259138-24-4P 259138-25-5P

259138-26-6P 259138-28-8P **259138-30-2P**

(preparation of)

IT 75840-25-4 **240417-72-5**

(reaction with propanediamine and trifluoropropanediamine)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L38 ANSWER 13 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1999:661822 HCAPLUS Full-text

DOCUMENT NUMBER:

132:35682

TITLE:

Simple synthesis of 1,4,8-triazabicyclo[5.3.0]dec-

4-ene derivatives from β -amino- β - (polyfluoroalkyl) vinyl ketones and

diethylenetriamine

AUTHOR(S):

Sosnovskikh, V. Ya.; Kutsenko, V. A.; Yatluk, Yu.

G.

CORPORATE SOURCE: A. M. Gor'ky Ural State University, Yekaterinburg,

620083, Russia

SOURCE:

Russian Chemical Bulletin (Translation of

Izvestiya Akademii Nauk, Seriya Khimicheskaya)

(1999), 48(7), 1395-1396

CODEN: RCBUEY; ISSN: 1066-5285

PUBLISHER:

Consultants Bureau

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 132:35682

ED Entered STN: 18 Oct 1999

GΙ

AB Reaction of ArCOCH:C(NH2)R (Ar = Ph, 2-thienyl; R = CF3, CF2CF2H) with diethylenetriamine gave triazabicyclo[5.3.0]dec-4-enes (I).

IT 70204-09-0 76165-57-6

(cyclocondensation with diethylenetriamine)

RN 70204-09-0 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 76165-57-6 HCAPLUS

CN 2-Penten-1-one, 3-amino-4,4,5,5-tetrafluoro-1-(2-thienyl)- (9CI) (CA INDEX NAME)

CC 28-21 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 70168-22-8 **70204-09-0 76165-57-6** 77855-06-2

(cyclocondensation with diethylenetriamine)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 14 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1999:505664 HCAPLUS Full-text

DOCUMENT NUMBER:

131:144609

TITLE:

Preparation of pyrimidinecarboxylates and analogs

as transcription factor activation inhibitors

INVENTOR(S):

Suto, Mark J.; Gayo, Leah M.; Palanki, Moorthy S.

S.; Ransone-Fong, Lynn J.

PATENT ASSIGNEE(S):

Signal Pharmaceuticals, Inc., USA

SOURCE:

U.S., 32 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.				APPLICATION NO.						DATE						
US	US 5935966 A US 5852028 A							US 1995-574406									
WO									WO 1996-US14089 BR, BY, CA, CH, CN, C2								
	W:																, DK, , LK,
																	, PT,
																	, UZ,
							ΚZ,										
	RW:																, GB,
	0000																, GA
WO			CA,		AI		1998	0903		WO	19	90-0	JS36.	10			19980224
		•	•		DE.	DK.	ES.	FI.	FR.	GE	3. (GR,	IE.	IT.	LU,	MC	, NL,
	2	PT,		,	,	,		,	,	_	•			•	•		
AU	9866	667			Α		1998	0918									19980224
PRIORIT	Y APP	LN.	INFO	.:						US	19	95-3	3109	Р		P	19950901
										ŲS	19	95-	5744	06		A2	19951218
										WO	19	96-1	JS14	089		W	19960830
										US	19	97-	8076	77		A	19970227
										WO	19	98-1	JS36:	16		W	19980224

OTHER SOURCE(S):

MARPAT 131:144609

ED Entered STN: 16 Aug 1999

GΙ

$$R^4$$
 R^5
 R^6

Title compds. [I; 1 of R2, R4 = NRR9 and the other = H, halo, alkyl, aryl, AΒ etc.; R = (un)substituted phthalimido, -maleimido, etc.; R5 = CO2R7, COR8, 4methyl-2-oxazolyl, etc.; R6 = H, F, Me, CF3, CH2Ph; R7 = H, (ar)alkyl, aryl,

etc.; R8 = (ar)alkyl, aryl, etc.; R9 = (ar)alkyl, COZR7, etc.; Z = bond, O, NH] were prepared Thus, EtCOCH2CO2Et was condensed with (H2N)2CO/HC(OEt)3 and the product cyclized to give, in 2 addnl. steps, I (R4 = Et, R5 = CO2Et, R6 = H)(II; R2 = NHNH2) which was cyclocondensed with citraconic anhydride to give II [R2 = (methylmaleimido)amino]. Data for biol. activity of I were given. 188936-16-5P

(preparation of pyrimidinecarboxylates and analogs as transcription factor activation inhibitors)

RN 188936-16-5 HCAPLUS

ΙT

CN 2-Thiophenepropanoic acid, α -[[(aminocarbonyl)amino]methylene]- β -oxo-, ethyl ester (9CI) (CA INDEX NAME)

```
C07D239-02
IC
     ICM
     ICS
         A01N043-54
INCL 514275000
     28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
CC
     Section cross-reference(s): 1
                                           2924-82-5P
IT
                 571-55-1P
                              2134-36-3P
                                                         6214-64-8P
     343-67-9P
                  14190-59-1P, 2-Thiazolecarboxylic acid
                                                             24755-82-6P
     6319-01-3P
     53135-24-3P
                   55613-22-4P
                                  56406-35-0P
                                                62328-19-2P
                                                               64633-82-5P
                                                                113271-89-9P
     66373-46-4P
                   89793-12-4P
                                  90794-84-6P
                                                101251-42-7P
                                                    162129-79-5P
                    149771-21-1P
                                    162129-77-3P
     139438-53-2P
     175137-28-7P
                    188781-04-6P
                                    188781-06-8P
                                                    188781-08-0P
                                    188781-13-7P
                                                    188781-14-8P
     188781-10-4P
                    188781-11-5P
                    188781-22-8P
                                    188781-49-9P
                                                    188781-50-2P
     188781-20-6P
                                    188936-15-4P 188936-16-5P
     188936-09-6P
                    188936-10-9P
     188936-17-6P
                    188936-18-7P
                                    188936-19-8P
                                                    188936-20-1P
                                                    188936-24-5P
     188936-21-2P
                    188936-22-3P
                                    188936-23-4P
                                                   188936-41-6P
     188936-35-8P
                    188936-37-0P
                                    188936-39-2P
     188936-42-7P
                    188936-43-8P
                                    188936-45-0P
                                                   188936-46-1P
     188936-47-2P
                    188936-48-3P
                                    188936-49-4P
                                                   188936-58-5P
     188936-62-1P
                    188936-68-7P
                                    188936-94-9P
                                                   188937-09-9P
     188937-11-3P
                    188937-12-4P
                                    188937-13-5P
                                                   188937-14-6P
     188937-15-7P
                    188937-17-9P
                                    188937-19-1P
                                                    188937-20-4P
     188937-21-5P
                    188937-22-6P
                                    188937-26-0P
                                                    188937-28-2P
                                                    188937-40-8P
     188937-31-7P
                    188937-34-0P
                                    188937-37-3P
     188937-42-0P
                    188937-48-6P
                                    188937-50-0P
                                                    188937-70-4P
     188937-71-5P
                    188937-72-6P
                                    188937-75-9P
                                                    206360-12-5P
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                    212621-35-7P
                                    212621-39-1P
                                                    212621-42-6P
                                                    212621-53-9P
     212621-45-9P
                    212621-46-0P
                                    212621-49-3P
     212621-55-1P
                    212621-58-4P
                                    212621-63-1P
                                                    212621-66-4P
     212621-67-5P
                    212621-68-6P
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                                                    212621-70-0P
                                    212621-75-5P
                                                    212621-76-6P
     212621-71-1P
                    212621-72-2P
     235429-37-5P
```

(preparation of pyrimidinecarboxylates and analogs as transcription factor activation inhibitors)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 15 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1999:445043 HCAPLUS Full-text

DOCUMENT NUMBER:

131:184902

TITLE:

Reactions of aromatic and heteroaromatic β -amino- β -(polyfluoroalkyl)vinyl ketones with ethylenediamine. A new synthesis of

N,N'-unsubstituted imidazolidines

AUTHOR(S):

Sosnovskikh, V. Ya.; Kutsenko, V. A.

CORPORATE SOURCE:

A. M. Gorky Ural State University, Yekaterinburg,

620083, Russia

SOURCE:

Russian Chemical Bulletin (Translation of Izvestiya Akademii Nauk, Seriya Khimicheskaya)

(1999), 48(3), 540-551

CODEN: RCBUEY; ISSN: 1066-5285

PUBLISHER:

Consultants Bureau

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 131:184902

ED Entered STN: 21 Jul 1999

The reactions of aromatic and heteroarom. β -amino- β - (polyfluoroalkyl)vinyl ketones with ethylenediamine results in the formation of 2,3-dihydro-1H-1,4-diazepines, N,N'-unsubstituted imidazolidines, or N,N'-ethylenebis(aminovinyl ketones). The route depends on the reaction conditions, the nature of the substituent at the carbonyl group, and the number of fluorine atoms in the polyfluoroalkyl radical.

IT 240418-16-0P 240418-24-0P 240418-27-3P

(preparation of)

RN 240418-16-0 HCAPLUS

CN 2-Buten-1-one, 3,3'-(1,2-ethanediyldiimino)bis[4,4-difluoro-1-(2-thienv1)-, (2Z,2'Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 240418-24-0 HCAPLUS

CN 2-Buten-1-one, 3-[(2-aminoethyl)amino]-4,4,4-trifluoro-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 240418-27-3 HCAPLUS

CN 2-Penten-1-one, 3-[(2-aminoethyl)amino]-4,4,5,5-tetrafluoro-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 240417-72-5 240417-83-8 240417-84-9

(reaction with 1,2-ethanediamine)

RN 240417-72-5 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 240417-83-8 HCAPLUS

CN 2-Penten-1-one, 3-amino-4,4,5,5-tetrafluoro-1-(2-thienyl)-, (2Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 240417-84-9 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4-difluoro-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

```
CC
     28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
ΙT
                                 109541-37-9P 109541-38-0P
     77855-08-4P
                   77855-10-8P
                                                                109541-39-1P
     109541-40-4P
                    139593-54-7P
                                   142968-04-5P
                                                  221317-92-6P
     221317-94-8P
                    221317-95-9P
                                   240417-88-3P
                                                  240417-89-4P
     240417-90-7P
                    240417-91-8P
                                   240417-92-9P
                                                  240417-93-0P
     240417-94-1P
                    240417-95-2P
                                   240417-96-3P
                                                  240417-97-4P
     240417-98-5P
                    240417-99-6P
                                   240418-00-2P
                                                  240418-01-3P
     240418-02-4P
                    240418-03-5P
                                   240418-05-7P
                                                  240418-06-8P
     240418-07-9P
                    240418-08-0P
                                   240418-09-1P
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     240418-11-5P
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                                   240418-13-7P
                                                  240418-14-8P
     240418-15-9P 240418-16-0P
                                 240418-17-1P
                                                240418-18-2P
     240418-19-3P
                    240418-20-6P
                                   240418-21-7P
                                                  240418-22-8P
     240418-23-9P 240418-24-0P
                                 240418-25-1P
                                                240418-26-2P
     240418-27-3P
                    240418-28-4P
        (preparation of)
     59354-21-1
ΙT
                  75840-25-4
                               75840-26-5
                                            75840-27-6
                                                         75840-28-7
     75840-29-8
                  78605-60-4
                               91508-84-8
                                            144864-93-7
                                                          185010-61-1
     212251-62-2
                   240417-70-3
                                 240417-71-4 240417-72-5
     240417-73-6
                   240417-74-7
                                 240417-75-8
                                               240417-76-9
                                                              240417-77-0
     240417-78-1
                   240417-79-2
                                 240417-80-5
                                               240417-81-6
                                                              240417-82-7
                                             240417-86-1
     240417-83-8 240417-84-9
                               240417-85-0
        (reaction with 1,2-ethanediamine)
                               THERE ARE 46 CITED REFERENCES AVAILABLE FOR
REFERENCE COUNT:
                         46
                               THIS RECORD. ALL CITATIONS AVAILABLE IN THE
                               RE FORMAT
L38 ANSWER 16 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
                         1999:83143 HCAPLUS Full-text
                         130:237540
DOCUMENT NUMBER:
TITLE:
                         Synthesis of 2-phenacyl- and 2-(\alpha-
                         thenoylmethyl)-2-polyfluoroalkylimidazolidines
                         Sosnovskikh, V. Ya.; Morozov, M. Yu.
AUTHOR(S):
                         A. M. Gor'kii Urals State University,
CORPORATE SOURCE:
                         Yekaterinburg, 620083, Russia
                         Chemistry of Heterocyclic Compounds (New
SOURCE:
                         York) (Translation of Khimiya Geterotsiklicheskikh
                         Soedinenii) (1998), 34(6), 743-744
                         CODEN: CHCCAL; ISSN: 0009-3122
                         Consultants Bureau
PUBLISHER:
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
     Entered STN: 09 Feb 1999
ED
AΒ
     The reaction of amino enones with ethanediamine gave imidazolidine derivs.
     which upon ring expansion gave diazepines. The cyclocondensation of 3-amino-
     4,4,4-trifluoro-1-(2-thienyl)-2-buten-1- one with 1,2-ethanediamine gave and
     imidazolidine derivative which was converted into 2,3-dihydro-5-(2-thienyl)-7-
     (trifluoromethyl)-1,4- diazepine.
ΙT
     70204-09-0 76165-57-6
        (preparation of (polyfluoroalkyl)imidazolidines and
        (fluoroalkyl)diazepines)
     70204-09-0 HCAPLUS
RN
     2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX
CN
     NAME)
```

RN 76165-57-6 HCAPLUS

CN 2-Penten-1-one, 3-amino-4,4,5,5-tetrafluoro-1-(2-thienyl)- (9CI) (CA INDEX NAME)

CC 28-21 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 107-15-3, 1,2-Ethanediamine, reactions 70168-22-8 70204-09-0

76165-57-6 77855-06-2, 2-Penten-1-one, 3-amino-4,4,5,5-

tetrafluoro-1-phenyl

(preparation of (polyfluoroalkyl)imidazolidines and

(fluoroalkyl)diazepines)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L38 ANSWER 17 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

2

ACCESSION NUMBER:

1998:608604 HCAPLUS Full-text

DOCUMENT NUMBER:

129:216625

TITLE:

Preparation of pyrimidinecarboxylates for treating

inflammatory conditions

INVENTOR(S):

Suto, Mark J.; Gayo, Leah M.; Palanki, Moorthy S.

S.; Ransone-Fong, Lynn J.

PATENT ASSIGNEE(S):

Signal Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 95 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO		KIND	DATE	APPLICATION NO.	DATE
WO 983817		A1	19980903	WO 1998-US3616	19980224
RW: A	J, CA, JP r, BE, CH, r, SE	DE, DK	, ES, FI,	FR, GB, GR, IE, IT,	LU, MC, NL,
US 5935960	- •	Α	19990810	US 1997-807677	19970227
AU 986666	7	A	19980918	AU 1998-66667	19980224
PRIORITY APPLN	. INFO.:			US 1997-807677	A 19970227
				US 1995-3109P	P 19950901
				US 1995-574406	A2 19951218
				WO 1996-US14089	W 19960830

WO 1998-US3616 W 19980224

OTHER SOURCE(S): MARPAT 129:216625

ED Entered STN: 25 Sep 1998

GΙ

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The title compds. [I; R2 = R2a when R4 = R4a, and R2 = R2b when R4 = R4b; R2b, AB R4a = H, halo, C1-8 alkyl, etc.; R2a, R4b = II-V; R5 = CO2R7, C(O)R8, etc.; R6 = H, Me, PhCH2, F, CF3; R7 = H, C1-8 alkyl, etc.; R8 = (un)substituted C1-8 alkyl, C6-12 aryl, C7-12 aralkyl; R9 = H, C1-8 alkyl, etc.; R10, R11 = H, (un) substituted C1-8 alkyl, C6-12 aryl], useful as anti-inflammatory agents in general and, more specifically, for the prevention and/or treatment of immunoinflammatory and autoimmune diseases such as rheumatoid arthritis, osteoarthritis, transplant rejection, sepsis, ARDS, asthma, multiple sclerosis, psoriasis, inflammatory bowel disease, glomerulonephritis, lupus, uveitis, chronic hepatitis, trauma, oxidative stress, cell death, irradiation damage, ischemia, reperfusion, cancer, and viral infection, were prepared Thus, reaction of Et 2-hydrazino-4-trifluoromethylpyrimidine-5-carboxylate with citraconic anhydride in CHCl3 afforded 39% I [R2 = III (R9 = R11 = H; R10 = Me); R4 = CF3; R5 = H; R6 = CO2Et] which showed IC50 of 0.7 μ M against activation of transcription factors NFkB and AP-1.
- IT 188936-16-5P

TC

(preparation of pyrimidinecarboxylates for treating inflammatory conditions)

- RN 188936-16-5 HCAPLUS
- CN 2-Thiophenepropanoic acid, α -[[(aminocarbonyl)amino]methylene]- β -oxo-, ethyl ester (9CI) (CA INDEX NAME)

ICM C07D239-28

- ICS C07D239-30; C07D239-42; C07D409-04; C07D403-12; C07D413-04; C07D403-04; A61K031-505
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 343-67-9P 571-55-1P 2134-36-3P 2924-82-5P 6214-64-8P 6319-01-3P 14190-59-1P, 2-Thiazolecarboxylic acid 24755-82-6P 55613-22-4P 53135-24-3P 56406-35-0P 62328-19-2P 64633-82-5P 113271-89-9P 139438-53-2P 66373-46-4P 90794-84-6P 101251-42-7P 149771-21-1P 162129-77-3P 162129-79-5P 188781-04-6P 188781-11-5P 188781-06-8P 188781-08-0P 188781-10-4P 188781-14-8P 188781-20-6P 188781-22-8P 188781-13-7P 188936-09-6P 188781-49-9P 188781-50-2P 188936-08-5P 188936-15-4P **188936-16-5P** 188936-10-9P 188936-17-6P 188936-20-1P 188936-21-2P 188936-18-7P 188936-19-8P

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188936-23-4P
                              188936-24-5P
                                            188936-35-8P
188936-22-3P
              188936-39-2P
                              188936-41-6P
                                            188936-42-7P
188936-37-0P
188936-43-8P
                              188936-46-1P
                                            188936-47-2P
               188936-45-0P
                              188936-58-5P
                                            188936-62-1P
188936-48-3P
               188936-49-4P
              188936-94-9P
                              188937-09-9P
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188936-68-7P
                                            188937-17-9P
              188937-14-6P
                              188937-15-7P
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                                            188937-22-6P
                              188937-21-5P
              188937-20-4P
188937-19-1P
                                            188937-34-0P
              188937-28-2P
                              188937-31-7P
188937-26-0P
188937-37-3P
                              188937-42-0P
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              188937-40-8P
                              206360-12-5P
                                            212621-30-2P
               188937-50-0P
188937-48-6P
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                                             212621-45-9P
212621-35-7P
               212621-39-1P
                              212621-53-9P
                                             212621-55-1P
212621-46-0P
               212621-49-3P
212621-61-9P
               212621-62-0P
                              212621-63-1P
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                                             212621-70-0P
               212621-68-6P
                              212621-69-7P
212621-67-5P
               212621-72-2P
                              212621-73-3P
                                             212621-74-4P
212621-71-1P
               212621-76-6P
212621-75-5P
```

(preparation of pyrimidinecarboxylates for treating inflammatory conditions)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 18 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN 1998:433729 HCAPLUS Full-text ACCESSION NUMBER: DOCUMENT NUMBER: 129:189293

TITLE:

Reactions of 3-amino-1-aryl- and

3-amino-1-(2-thienyl)-4,4,4-trifluoro-2-buten-1-

ones with 2-aminoethanol

Sosnovskikh, Vyacheslav Y.; Kutsenko, Valentin A.; AUTHOR(S):

Morozov, Mikhail Y.

Department of Chemistry, A.M.Gor'ky Urals State CORPORATE SOURCE:

University, Yekaterinburg, 620083, Russia

Mendeleev Communications (1998), (3), 126-127 SOURCE:

CODEN: MENCEX; ISSN: 0959-9436

Russian Academy of Sciences PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English Entered STN: 15 Jul 1998 ED

The title reactions afford 46-75% 5 1-aryl-3-(2-hydroxyethylamino)- 4,4,4-AB

trifluoro-2-buten-1-ones and 77% 2-(2-thenoylmethyl)-2-

trifluoromethyloxazolidine.

70204-09-0 IT

(reaction with aminoethanol)

70204-09-0 HCAPLUS RN

2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX CN NAME)

```
28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
CC
                              70168-22-8 70204-09-0 80070-76-4
ΙT
     41463-86-9
                 66180-39-0
     80070-77-5
                 80070-79-7
                              211869-72-6
        (reaction with aminoethanol)
                              THERE ARE 13 CITED REFERENCES AVAILABLE FOR
REFERENCE COUNT:
                        13
```

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 19 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1997:302930 HCAPLUS <u>Full-text</u>

DOCUMENT NUMBER:

126:277492

TITLE:

Preparation of pyrimidinecarboxylates and related compounds for treating inflammatory conditions

INVENTOR(S):

Suto, Mark J.; Gayo, Leah M.; Palanki, Moorthy S.

S.; Ransone-Fong, Lynn J.

PATENT ASSIGNEE(S):

Signal Pharmaceuticals, Inc., USA; Suto, Mark J.;

Gayo, Leah M.; Palanki, Moorthy, S. S.;

Ransone-Fong, Lynn J.

SOURCE:

PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

Englis

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.			KIND DATE			APPLICATION NO.						DATE					
	 WO	97093	325			A1 19970313		WO 1996-US14089				19960830					
		W:	AL,	AM,	AT,	AU,	ΑZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,
			EE,	ES,	FI,	GB,	GE,	HU,	IL,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LK,
			LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,
			VN,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM			•		
		RW:	ΚE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,
																	GA
																	9951218
(CA	2230	896			A1		1997	0313		CA 1	996-	2230	896		1	9960830 [.]
	ΑU	9670	130			Α		1997	0327		AU 1	996-	7013	0		1	9960830
	AU	7260	58			B2		2000	1026								
	JΡ	1151	2390			T		1999	1026		JP 1	996-	5113	24		1	9960830
1	US	5935	966			Α		1999	0810			997-					9970227
PRIOR	ΙΤY	APP.	LN.	INFO	.:					•	US 1	995-	3109	P		P 1	9950901
																	0051010
											US 1	995-	5/44	06	•	A I	9951218
										1	WO 1	996-	US14	089		W 1	9960830

OTHER SOURCE(S): MARPAT 126:277492

ED Entered STN: 12 May 1997

GI

$$R^4$$
 R^5
 R^6
 R^9
 $N-N$
 R^5
 R^6
 R^9
 R^9

$$R^9$$
 R^{10}
 R^{11}
 R^{11}

The title compds. [I; R2 = R2a when R4 = R4a, and R2 = R2b when R4 = R4b; R2b, AΒ R4a = H, halo, (un) substituted C1-8 alkyl, etc.; R2a, R4b = II, III, N(R9)NHC(0)R10, N(R9)NHC(0)C(R10):CHR11 (wherein R9 = H, (un)substituted C1-8 alkyl, etc.; R10, R11 = H, (un)substituted C1-8 alkyl, C6-12; n = 0-4; A = halo, OH, COOH, etc.); R5 = C(O)OR7, C(O)R8 (wherein R7 = H, (un)substituted C1-8 alkyl, etc.; R8 = (un)substituted C1-8 alkyl, C6-12 aryl, C7-12 aralkyl), etc.; R6 = H, Me, F, etc.], anti-inflammatory agents in general and, more specifically, for the prevention and/or treatment of immunoinflammatory and autoimmune diseases such as rheumatoid arthritis, osteoarthritis, transplant rejection, sepsis, ARDS, asthma, multiple sclerosis, psoriasis, inflammatory bowel disease, glomerulonephritis, lupus, uveitis, chronic hepatitis, trauma, oxidative stress, ischemia, reperfusion, cancer and viral infection, were prepared Thus, refluxing of Et 2-hydrazino-4- trifluoromethylpyrimidine with citraconic anhydride in CHCl3 afforded IV which showed IC50 of 0.7 μM against transcription factors NFKB and AP-1.

IT 188936-16-5P

(preparation of pyrimidinecarboxylates and related compds. for treating inflammatory conditions)

RN 188936-16-5 HCAPLUS

2-Thiophenepropanoic acid, α -[[(aminocarbonyl)amino]methylene]-CN β -oxo-, ethyl ester (9CI) (CA INDEX NAME)

6319-01-3P

IC ICM C07D403-12 ICS C07D239-42; C07D409-04; A61K031-505 28-16 (Heterocyclic Compounds (More Than One Hetero Atom)) CC Section cross-reference(s): 1 343-67-9P 571-55-1P 2134-36-3P 2924-82-5P ΙT 14190-59-1P, 2-Thiazolecarboxylic acid 24755-82-6P

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56406-35-0P
                                           62328-19-2P
53135-24-3P
              55613-22-4P
                                                          64633-82-5P
              89793-12-4P
                             90794-84-6P
66373-46-4P
                                           98135-49-0P
                                                          113271-89-9P
               149771-21-1P
                               162129-77-3P
                                              162129-79-5P
139438-53-2P
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188937-48-6P
```

(preparation of pyrimidinecarboxylates and related compds. for treating inflammatory conditions)

L38 ANSWER 20 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1996:326165 HCAPLUS Full-text

DOCUMENT NUMBER: 125:10608

TITLE: Preparation of pyrrole and thiophene derivatives

INVENTOR(S):
Hamamoto, Isami

PATENT ASSIGNEE(S): Nippon Soda Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08059611	A	19960305	JP 1994-225962	19940826
PRIORITY APPLN. INFO.:			JP 1994-225962	19940826

OTHER SOURCE(S): CASREACT 125:10608; MARPAT 125:10608

ED Entered STN: 05 Jun 1996

GΙ

AB The title compds. I [R1 = alkyl, etc.; R2 = electron-attracting group; R3 = H, (un)substituted alkyl; X = NR5, O, etc.; R5 = H, alkyl, etc.; Y = H, carboxy, etc.] are prepared via cyclization of N-(oxobutenyl)glycine derivs. or S-(oxobutenyl)thioglycolic acids. Thus, a mixture of MeCOC(:CHNHCH2CO2Et)CO2Et

49 g and sodium ethoxide 1.4 g in ethanol 500 mL was refluxed with stirring for 1 h to give pyrrole derivative II 31.6 g.

IT 169467-56-5P

(preparation of pyrrole and thiophene derivs.)

RN 169467-56-5 HCAPLUS

CN 2-Thiophenepropanoic acid, α -[[(carboxymethyl)amino]methylene]- β -oxo-, α -ethyl ester (9CI) (CA INDEX NAME)

IC ICM C07D207-333

ICS C07D207-34; C07D307-68; C07D333-38; C07D409-04

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

IT 55647-72-8P 169467-53-2P 169467-54-3P 169467-55-4P 169467-56-5P 169467-57-6P 177032-13-2P 177032-14-3P 177032-15-4P

(preparation of pyrrole and thiophene derivs.)

L38 ANSWER 21 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 19

1995:884144 HCAPLUS Full-text

DOCUMENT NUMBER:

123:285762

TITLE: Preparation of pyrrole derivatives

INVENTOR(S):

Hamamoto, Isami

PATENT ASSIGNEE(S):

Nippon Soda Co, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
JP 07157466	Α	19950620	JP 1993-340102	19931207	
JP 3404720	В2	20030512		•	
PRIORITY APPLN. INFO.:			JP 1993-340102	19931207	

OTHER SOURCE(S): CASREACT 123:285762; MARPAT 123:285762

ED Entered STN: 28 Oct 1995

GΙ

AΒ The title compds. I [R1 = (un) substituted aryl, etc.; R2 = electron-attracting group] are prepared in several steps from glycine and alkyl α -(ethoxymethylene)acetoacetate. Thus, reaction of glycine with Et α -(ethoxymethylene) acetoacetate in ethanol containing KOH, followed by treatment with acetic anhydride at 130 - 135°, and hydrolysis of the product in ethanol and water in the presence of sodium carbonate, gave I [R1 = methyl; R2 = CO2Et].

ΙT 169467-56-5P

(preparation of pyrrole derivs.)

RN 169467-56-5 HCAPLUS

CN 2-Thiophenepropanoic acid, α -[[(carboxymethyl)amino]methylene]- β -oxo-, α -ethyl ester (9CI) (CA INDEX NAME)

IC ICM C07D207-34

ICS C07D207-333; C07D409-04

C07D409-04, C07D207-30, C07D333-20 ICI

27-10 (Heterocyclic Compounds (One Hetero Atom))

55647-72-8P 169467-52-1P 169467-53-2P 169467-54-3P TΤ 169467-58-7P 169467-55-4P **169467-56-5P** 169467-57-6P (preparation of pyrrole derivs.)

L38 ANSWER 22 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1994:45927 HCAPLUS Full-text

DOCUMENT NUMBER:

120:45927

Novel 3-amino-substituted isoxazole derivatives, TITLE:

their preparation and use for control of

endoparasites

Jeschke, Peter; Lindner, Werner; Harder, Achim; INVENTOR(S):

Mencke, Norbert

PATENT ASSIGNEE(S):

Bayer A.-G., Germany Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT NO.			KIND	DATE	APPLICATION NO.		DATE
EP	563686			A1	19931006	EP 1993-104387		19930318
	R: AT,	BE,	CH,	DE,	DK, ES, FR,	GB, GR, IT, LI, NL,	SE	
DE	4210502			A1	19931007	DE 1992-4210502		19920331
AU	9335480			Α	19931007	AU 1993-35480		19930325
JP	06049045	5		Α	19940222	JP 1993-89546		19930325
CA	2092885			A1	19931001	CA 1993-2092885		19930329
ZA	9302257			A	19931014	ZA 1993-2257		19930330
PRIORITY	APPLN.	INFO	. :			DE 1992-4210502	Α	19920331

OTHER SOURCE(S):

MARPAT 120:45927

ED Entered STN: 05 Feb 1994

GΙ

The title compds. [I; R1 = (substituted) aryl or heteroaryl; R2 = H, halo, alkyl, haloalkyl, cyano, alkoxycarbonyl, (substituted) aryl; R3 = (substituted) alkyl, alkenyl, cycloalkylalkyl, aralkyl, or aryl; R4 = H, alkyl; or R3NR4 = heterocyclyl] are endoparasiticides for use in human and veterinary medicine. They are prepared by reaction of R1C(:O)CR2:C(SMe)NR3R4 (R2 ≠ halo) with NH2OH followed by (catalytic) cyclization. Direct halogenation of I (R2 = H) leads to I (R2 = halo). Thus, (E)-1-(4-methoxyphenyl)-3-ethylamino-3-methylthio-1-oxoprop-2-ene was refluxed with NH2OH.HCl in absolute pyridine to give I (R1 = 4-MeOC6H4, R2 = R3 = H, R4 = Et) (II). II (10 mg/kg orally) was effective against Trichostrongylus colubriformis and Haemonchus contortus in sheep.

IT 151727-95-6 151727-98-9 151727-99-0 151728-01-7 151728-02-8

(cyclization reaction of, with hydroxylamine)

RN 151727-95-6 HCAPLUS

CN 2-Propen-1-one, 3-(ethylamino)-3-(methylthio)-1-(2-thienyl)-, (E)(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151727-98-9 HCAPLUS

CN 2-Propen-1-one, 1-(5-bromo-2-thienyl)-3-(ethylamino)-3-(methylthio)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151727-99-0 HCAPLUS

CN 2-Propen-1-one, 1-(4-bromo-2-thienyl)-3-(ethylamino)-3-(methylthio)-,
(E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151728-01-7 HCAPLUS

CN 2-Propen-1-one, 3-(ethylamino)-1-(5-methyl-2-thienyl)-3-(methylthio)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151728-02-8 HCAPLUS

CN 2-Propen-1-one, 3-(ethylamino)-1-[4-(1-methylethyl)-2-thienyl]-3-(methylthio)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IC ICM C07D261-14

ICS A61K031-42; C07D413-04; A61K031-44

CC 1-5 (Pharmacology)

Section cross-reference(s): 28

151727-39-8 151727-37-6 151727-38-7 151727-35-4 151727-36-5 IT 151727-44-5 151727-43-4 151727-41-2 151727-42-3 151727-40-1 151727-48-9 151727-49-0 151727-46-7 151727-47-8 151727-45-6 151727-54-7 151727-53-6 151727-51-4 151727-52-5 151727-50-3 151727-58-1 151727-59-2 151727-56-9 151727-57-0 151727-55-8 151727-64-9 151727-63-8 151727-62-7 151727-61-6 151727-60-5 151727-69-4 151727-68-3 151727-66-1 151727-67-2 151727-65-0 151727-74-1 151727-73-0 151727-71-8 151727-72-9 151727-70-7 151727-79-6 151727-77-4 151727-78-5 151727-76-3 151727-75-2 151727-84-3 151727-83-2 151727-81-0 151727-82-1 151727-80-9 151727-89-8 151727-87-6 151727-88-7 151727-86-5 151727-85-4 151727-93-4 151727-94-5 151727-92-3 151727-91-2 151727-90-1 151727-97-8 151727-98-9 151727-96-7 151727-95-6

151727-99-0 151728-00-6 151728-01-7

151728-02-8 151728-03-9 151728-04-0 151728-10-8

151728-11-9 151728-12-0 151728-13-1 151728-14-2 151728-15-3 151728-16-4 151728-17-5

(cyclization reaction of, with hydroxylamine)

L38 ANSWER 23 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1991:558145 HCAPLUS Full-text

DOCUMENT NUMBER: 115:158145

TITLE: Synthesis of β -aminovinyl ketones by

condensation of nitriles with methyl ketones

AUTHOR(S): Sašnovskikh, V. Ya.; Ovsyannikov, I. S.

CORPORATE SOURCE: Ural. Gos. Univ., Sverdlovsk, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1990), 26(10),

2086-91

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 115:158145

ED Entered STN: 18 Oct 1991

Treatment of nitriles RCN [R = (un)substituted Ph, PhCH:CH, 3-pyridyl, PhCH2, PhOCH2, Me, Cl3C] with Me ketones MeCOR1 (R1 = alkyl, Ph, 2-thienyl) in the presence of PhNEtMgBr afforded aminovinyl ketones H2NCR:CHCOR1. The latter underwent acid hydrolysis to β -diketones RCOCH2COR1.

IT 136380-07-9P

(preparation and hydrolysis of).

RN 136380-07-9 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trichloro-1-(2-thienyl)- (9CI) (CA INDEX NAME)

S C CH C CC13

CC 21-2 (General Organic Chemistry)
IT 33663-62-6P 90767-95-6P 107970-92-3P 107970-93-4P 107970-94-5E

107970-95-6P 136346-88-8P 136346-89-9P 136346-90-2P 136346-91-3P 136346-92-4P 136346-93-5P 136380-06-8P

136380-07-9P

(preparation and hydrolysis of)

L38 ANSWER 24 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:429157 HCAPLUS Full-text

DOCUMENT NUMBER: 115:29157

TITLE: A facile and novel synthesis of 1,6-naphthyridin-2(1H)-ones

Singh, Baldev; Lesher, George Y.

AUTHOR(S): Singh, Baldev; Lesher, George 1.

CORPORATE SOURCE: Dep. Med. Chem., Sterling Res. Group, Rensselaer,

NY, 12144, USA

SOURCE: Journal of Heterocyclic Chemistry (1990), 27(7),

2085-91

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:29157

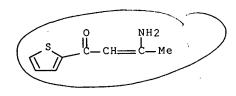
ED Entered STN: 27 Jul 1991

GI

The title compds. (I; R = H, Me; R1 = H, Me, Et, Pr, substituted Ph, 2-AΒ thienyl, 2-furyl; R2 = H, OH, NH2, CONHNH2, CN) and (II) were prepared from pyridinonenitrile (III; R1 = Me, R3 = CN) and Me2NCH(OMe)2 or from pyridinones (III; R1 = H, Et, Pr, substituted Ph, 2-thienyl, 2-furyl; R3 = H) and (Me2N)2CHOCMe3 or Me2NCH(OMe)2. Derivs. (III) can be prepared from MeCOCH2COR1 and HC.tplbond.CCO2Me in 2 steps. 102995-84-6P ΙT

(preparation and cyclization of, with Me acetylenecarboxylate) 102995-84-6 HCAPLUS RN

2-Buten-1-one, 3-amino-1-(2-thienyl)- (9CI) (CA INDEX NAME) CN



28-2 (Heterocyclic Compounds (More Than One Hetero Atom)) CC 1118-66-7P 1128-85-4P 33663-57-9P 86601-51-6P 33663-59-1P IT 102995-81-3P 102995-84-6P 102252-93-7P 102252-89-1P 133116-94-6P

(preparation and cyclization of, with Me acetylenecarboxylate)

HCAPLUS COPYRIGHT 2007 ACS on STN L38 ANSWER 25 OF 35

ACCESSION NUMBER: DOCUMENT NUMBER:

1987:213774 HCAPLUS Full-text

106:213774

Preparation and formulation of cardiotonic TITLE:

5-(heterylcarbonyl)pyridones Lesher, George Y.; Singh, Baldev INVENTOR(S):

PATENT ASSIGNEE(S):

Sterling Drug Inc., USA

SOURCE:

U.S., 9 pp.

DOCUMENT TYPE:

CODEN: USXXAM

LANGUAGE:

Patent

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 4650806	Α	19870317	US 1985-691238	19850114	
PRIORITY APPLN. INFO.:			US 1985-691238	19850114	

OTHER SOURCE(S):

CASREACT 106:213774

Entered STN: 26 Jun 1987 ΕD

GΙ

$$Q = \bigcup_{M \in \mathbb{N}} Z$$

Title compds. I [Q = 2(3) - furanyl, 2(3) - thienyl when Z = H or Q = 4(3) -AΒ pyridinyl when Z = cyano] and their salts were prepared 3-Amino-1-(2-furanyl)-2-buten-1-one in DMF was treated with HC.tplbond.CCO2Me to give I (Q = 2furanyl; Z = H) (II). II at 30 μ g/mL increased the contractile force of isolated cat or guinea pig atria and papillary muscle by 61 and 55% of controls.

102995-84-6P IT

(preparation and cyclocondensation of, with Me propiolate)

102995-84-6 HCAPLUS RN

2-Buten-1-one, 3-amino-1-(2-thienyl)- (9CI) (CA INDEX NAME) CN

ICM A61K031-44 .IC

ICS C07D409-06; C07D213-84; C07D405-06

INCL 514335000

27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

102995-81-3P 102995-84-6P ΙT

(preparation and cyclocondensation of, with Me propiolate)

L38 ANSWER 26 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1986:442768 HCAPLUS Full-text

DOCUMENT NUMBER:

105:42768 -

TITLE:

5-Heteroaryl-1, 6-naphthyridin-2(1H)-ones, their

cardiotonic use and intermediates

INVENTOR(S):

Lesher, George Y.; Singh, Baldev Sterling Drug Inc., USA

PATENT ASSIGNEE(S):

U.S., 9 pp.

SOURCE:

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4567186	Α	19860128	US 1985-691802	19850114
AU 8651873	Α	19860717	AU 1986-51873	19860107

AU	5855	65			В2	198	90622				
EP	1912	98			A2	198	60820	EP	1986-10029	5	19860110
ΕP	1912	98			A3	198	61203				
	R:	BE,	CH,	DE,	FR,	GB, IT	, LI,	NL, S	E		
DK	8600	137			Α	198	60715	DK	1986-137		19860113
JP	6121	0086			Α	198	60918	JP	1986-6190		19860114
PRIORIT	Y APP	LN.	INFO	.:				US	1985-691802	2 A	19850114

OTHER SOURCE(S):

MARPAT 105:42768

ED Entered STN: 09 Aug 1986

GΙ

$$\begin{bmatrix} R & & & & \\ & & & \\ & & & \\ R & & \\$$

The title compds. [I; R = H, cyano, CO2H; R1 = furanyl, thienyl, 3- or 4-pyridinyl optionally having Me substituents] were prepared as cardiotonics. Thus, 85 g 3-amino-1-(2-furanyl)-2-buten-1-one was cyclocondensed with HC.tplbond.CCO2Me to give 68.4 g pyridimone II (R2 = Me). This (30.5 g) was condensed with Me2NCH(OMe)2 to give 28.4 g II (R2 = Me2NCH:CH). The latter was cyclocondensed with NH4OAc in refluxing DMF to give 13.4 g I (R = H, R1 = 2-furanyl) (III). In isolated guinea pig atria prepns. 3 μ g III/mL gave a 65% increase in papillary muscle force.

IT 102995-84-6P

(preparation and cyclocondensation of, with Me propiolate)

RN 102995-84-6 HCAPLUS

CN 2-Buten-1-one, 3-amino-1-(2-thienyl)- (9CI) (CA INDEX NAME)

IC ICM A61K031-435

ICS C07D471-04; C07D213-24

INCL 514300000

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 102995-81-3P 102995-84-6P

(preparation and cyclocondensation of, with Me propiolate)

L38 ANSWER 27 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1985:5355 HCAPLUS Full-text

DOCUMENT NUMBER:

102:5355

TITLE:

The reaction of electrogenerated superoxide ion with fluorinated β -ketoamines and their metal

chelates

AUTHOR(S):

Budnikov, G. K.; Kargina, O. Yu.

CORPORATE SOURCE: Dep. Chem., V. I. Ul'yanov-Lenin State Univ.,

Kazan, USSR

SOURCE: Journal of Electroanalytical Chemistry and

Interfacial Electrochemistry (1984), 171(1-2),

257-68

CODEN: JEIEBC; ISSN: 0022-0728

DOCUMENT TYPE: LANGUAGE: Journal English

ED Entered STN: 12 Jan 1985

AB The reactions of the electrogenerated superoxide ion with fluorinated β-ketoamines, e.g., H(CF2)2COCH:C(NH2)(CF2)2H, and their Ni and Pd chelates in DMF containing Et4NClO4 have been investigated. Using d.c. and commutated polarog., an ECE-type mechanism was found to be operative, the substrate acting as the protonating agent towards the superoxide ion. The pseudo first-and the second-order rate consts. for the O2-Φ protonation were estimated by fitting ik/id values to the ik/id vs. log kt working curves (ik/id is the ratio of the limiting current for oxygen reduction with added substrate to the corresponding limiting current without added substrate). The second-order rate consts. for the complexes were correlated with pKa values of the amino groups of the corresponding ligands. The mechanism of O2-Φ protonation by the ligands was complicated.

TT 70204-09-0

(protonation by, of electrogenerated superoxide ion)

RN 70204-09-0 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX NAME)

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CC 22-5 (Physical Organic Chemistry)
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Section cross-reference(s): 72, 78

72885-02-0 78063-58-8 70168-22-8 **70204-09-0** 71080-49-4 ΙT 80070-79-7 80070-77-5 80070-78-6 80070-76-4 78063-60-2 92881-87-3 92881-86-2 80070-82-2 80070-83-3 92881-85-1 93555-88-5 92881-89-5 92881-90-8 92881-91-9 92881-93-1 93555-93-2 93555-90-9 93555-91-0 93555-92-1 93555-89-6 93555-95-4 93555-94-3

(protonation by, of electrogenerated superoxide ion)

L38 ANSWER 28 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1983:89285 HCAPLUS Full-text

DOCUMENT NUMBER: 98:89285

TITLE: N-acyl- β -enamino ketones: versatile

heterocyclic synthons

AUTHOR(S): Potts, Kevin T.; Ruffini, Alan J.; Titus, George

R.

CORPORATE SOURCE: Dep. Chem., Rensselaer Polytech. Inst., Troy, NY,

12181, USA

SOURCE: Journal of Organic Chemistry (1983), 48(4), 623-5

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE:

LANGUAGE:

English

Journal.

OTHER SOURCE(S):

CASREACT 98:89285

ED Entered STN: 12 May 1984

GI

Reaction of di-Et N-(substituted) dithiocarbonimidates, prepared from dithiocarbamates by S-ethylation, with the K enolates from Me ketones in THF at room temperature provided a ready synthesis of RCONHCR1CH:CHCOR2 (I; R = 2,5-Cl2C6H3, 2-furyl; Rl = SEt, NEt2; R2 = 4-MeOC6H4, 2-thienylthio, Ph) in moderate to excellent yield. Two equivalent of Me3COK were used to suppress side reactions and facilitate isolation of I via its K salt. Use of the corresponding isothiourea allowed introduction of an NEt2 substituent into the 3-position of I. 1,3-Oxazinium (II; X = ClO4, MeSO3) and 1,3-thiazinium salts (III; X = ClO4, MeSO3) were formed from these N-acyl- β -enaminones on treatment with 70% HClO4 in Ac2O or with MeSO3H.

IT 84454-23-9P 84454-26-2P

(preparation and cyclization of)

RN 84454-23-9 HCAPLUS

CN Benzamide, 2,5-dichloro-N-[1-(ethylthio)-3-oxo-3-(2-thienyl)-1-propenyl]- (9CI) (CA INDEX NAME)

RN 84454-26-2 HCAPLUS

CN Benzamide, 2,5-dichloro-N-[1-(diethylamino)-3-oxo-3-(2-thienyl)-1-propenyl]- (9CI) (CA INDEX NAME)

CC 28-14 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 25, 27

IT 84433-68-1P 84454-23-9P 84454-24-0P 84454-25-1P

84454-26-2P

(preparation and cyclization of)

L38 ANSWER 29 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN 1982:492172 HCAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER:

97:92172

TITLE:

Reactions of reactive nucleophiles with 5-phenylthieno[3,2-b]pyran-7-one and 2-phenylbenzo[b]thieno[3,2-b]pyran-4-one

AUTHOR(S):

Netchitailo, Pierre; Decroix, Bernard; Morel, Jean

CORPORATE SOURCE:

Lab. Chim. Org. Heterocycles, Inst. Haute Normandie, Mont Saint Aignan, 76130, Fr.

SOURCE:

Journal of Heterocyclic Chemistry (1982), 19(2),

327-33

CODEN: JHTCAD; ISSN: .0022-152X

DOCUMENT TYPE:

Journal French

LANGUAGE:

OTHER SOURCE(S):

CASREACT 97:92172

Entered STN: 12 May 1984

The title compds. reacted with nucleophiles in various ways. In general their AB

reactivity was lower than that of 2- phenylbenzopyranones.

82747-06-6P IT

(preparation of) 82747-06-6 HCAPLUS

RN 2-Propen-1-one, 3-(ethylamino)-1-(3-hydroxy-2-thienyl)-3-phenyl- (9CI) CN

(CA INDEX NAME)

28-2 (Heterocyclic Compounds (More Than One Hetero Atom)) CC

82747-01-1P 3260-92-2P 82746-98-3P 82746-99-4P 82747-00-0P TΤ

82747-05-5P 82747-03-3P 82747-04-4P 82747-02-2P 82747-09-9P 82747-06-6P 82747-07-7P 82747-08-8P

82747-13-5P 82747-18-0P 82747-12-4P 82747-11-3P 82747-10-2P

82747-22-6P 82747-23-7P 82747-20-4P 82747-21-5P 82747-19-1P

82747-25-9P 82747-26-0P 82747-27-1P 82747-24-8P

(preparation of)

L38 ANSWER 30 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1982:423679 HCAPLUS Full-text

DOCUMENT NUMBER:

97:23679

TITLE:

Enamines from β -oxocarboxylic acid esters

(3-amino-2-alkene acid ester) and their use in

pyrazole synthesis

AUTHOR(S):

Plath, Peter; Rohr, Wolfgang

CORPORATE SOURCE:

Hauptlab., BASF A.-G., Ludwigshafen, D-6700, Fed.

Rep. Ger.

SOURCE:

Synthesis (1982), (4), 318-20 CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE:

Journal

LANGUAGE:

German

OTHER SOURCE(S):

CASREACT 97:23679

Entered STN: 12 May 1984

GI

AB RO2CCH:CMeNHMe (R = Me, Et, Me2CH) were treated with R1COCl (R1 = aryl, heteroaryl) to give 55-95% RO2CC(COR1):CMeNHMe, which were cyclized with R2NHNH2 (R2 = H, Me) to give the pyrazoles I in 7-91% yield.

IT 82140-49-6P

(preparation and cyclization with hydrazine)

RN 82140-49-6 HCAPLUS

CN 2-Thiophenepropanoic acid, α -[1-(methylamino)ethylidene]- β -oxo-, methyl ester (9CI) (CA INDEX NAME)

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 76923-71-2P 82140-46-3P 82140-47-4P **82140-49-6P**

82140-50-9P 82140-51-0P 82140-52-1P. 82140-53-2P 82140-54-3P

82140-55-4P

(preparation and cyclization with hydrazine)

L38 ANSWER 31 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1981:497263 HCAPLUS Full-text

DOCUMENT NUMBER:

95:97263

TITLE:

Reaction of $\beta\text{-mercaptoethylamine}$ with

α-acetylenic ketones

AUTHOR(S):

Glotova, T. E.; Nakhmanovich, A. S.; Skvortsova,

G. G.; Komarova, T. N.; Kalikhman, I. D.;

Voronkov, M. G.

CORPORATE SOURCE:

Irkutsk. Inst. Org. Khim., Irkutsk, USSR

SOURCE:

Zhurnal Organicheskoi Khimii (1981), 17(4), 749-55

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE:

Journal

LANGUAGE:

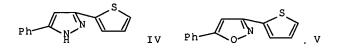
Russian

OTHER SOURCE(S):

CASREACT 95:97263

ED Entered STN: 12 May 1984

GI



AB Q = 2-thienyl throughout. Addition reaction of RCOC.tplbond.CR1 (I) (R, R1 = Ph, H; Ph, Ph; Q, H; Q, Ph) with HSCH2CH2NH2 in MeOH-MeONa or CHCl3-K2CO3 gave 8-46% (RCOCH:CR1NHCH2CH2S)2 (II); I (R1 = Ph) also gave 6-56% RCOCH:CPhSCH2CH2NHCPh:CHCOR (III). II formed Cu complexes. Several reactions of III were studied; e.g., with N2H4 or NH2OH, III (R = Q) eliminated HSCH2CH2NH2 to give, resp., IV and V.

IT 78504-81-1P

(preparation and reactions of)

RN 78504-81-1 HCAPLUS

CN 2-Propen-1-one, 3-[[2-[[3-oxo-1-phenyl-3-(2-thienyl)-1-propenyl]amino]ethyl]thio]-3-phenyl-1-(2-thienyl)- (9CI) (CA INDEX NAME)

$$S \longrightarrow U - CH = CH_2 - CH_2 - NH_2 - CH_2 - NH_3 - CH_4 - CH_4 - CH_5 - C$$

IT 78504-84-4P 78504-85-5P

(preparation of)

RN 78504-84-4 HCAPLUS

CN 2-Propen-1-one, 3,3'-[dithiobis(2,1-ethanediylimino)]bis[1-(2-thienyl)-(9CI) (CA INDEX NAME)

PAGE 1-B

RN 78504-85-5 HCAPLUS

CN 2-Propen-1-one, 3,3'-[dithiobis(2,1-ethanediylimino)]bis[3-phenyl-1-(2-thienyl)- (9CI) (CA INDEX NAME)

25-15 (Noncondensed Aromatic Compounds) Section cross-reference(s): 27, 28 78504-80-0P 78504-81-1P ΙT (preparation and reactions of) 78504-82-2P 21985-10-4P 21985-07-9P 2039-49-8P TΨ 1145-01-3P 78504-83-3P 78504-84-4P 78504-85-5P 78504-87-7P 78736-67-1P 78736-66-0P (preparation of) - 3 L38 ANSWER 32 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN 1979:186305 HCAPLUS Full-text ACCESSION NUMBER: DOCUMENT NUMBER: 90:186305 Preparation of β -aminovinyl ketones with an TITLE: amino group at carbon bound to a fluorinated substituent Pashkevich, K. I.; Aizikovich, A. Ya. AUTHOR(S): CORPORATE SOURCE: Inst. Khim., Sverdlovsk, USSR Doklady Akademii Nauk SSSR (1979), 244(3), 618-20 SOURCE: [Chem.] CODEN: DANKAS; ISSN: 0002-3264 Journal DOCUMENT TYPE: Russian LANGUAGE: Entered STN: 12 May 1984 ED Reaction of perfluoronitriles with MeCOR gave 26-81% RCOCH:C(NH2)R1 (R, R1 = AΒ Me, CF3; Me2CH, CF3; Me3C, CF3; Ph, CF3; 2-thienyl, CF3; Me, C2F5; Me, C3F7). 70204-09-0P IT (preparation of) 70204-09-0 HCAPLUS RN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX CN NAME) 23-15 (Aliphatic Compounds) CC Section cross-reference(s): 25, 27 70168-23-9P 70168-21-7P 70168-22-8P 67150-28-1P 70168-20-6P IT 70168-24-0P **70204-09-0P** (preparation of) HCAPLUS COPYRIGHT 2007 ACS on STN ANSWER 33 OF 35 1978:105153 HCAPLUS Full-text ACCESSION NUMBER: 88:105153 DOCUMENT NUMBER: 1-Phenoxy-3-aminopropan-2-ol derivatives and their TITLE: acid addition salts Cassella Farbwerke Mainkur A.-G., Fed. Rep. Ger. PATENT ASSIGNEE(S): Austrian, 17 pp. SOURCE: CODEN: AUXXAK

Patent

German

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

LANGUAGE:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
AT 339307	 В	19771010	AT 1974-10167		19741219
AT 7410167	Α	19770215			
US 4088764	A	19780509	US 1974-531344		19741210
FI 7403631	A	19750628	FI 1974-3631		19741216
NO 7404530	Α	19750630	NO 1974-4530	•	19741216
SE 7415761	Α	19750630	SE 1974-15761		19741216
DK 7406547	Α	197,50825	DK 1974-6547		19741216
DD 117071	A5	19751220	DD 1974-183198		19741219
ZA 7408082	Α	19760128	ZA 1974-8082		19741219
SU 559643	A 3	19770525	SU 1974-2085461		19741219
SU 598557	A3	19780315	SU 1974-2085234		19741219
HU 171726	В	19780328	HU 1974-CA376		19741219
CA 1047512	A1	19790130	CA 1974-216421	•	19741219
US 4066768.	Α	19780103	US 1976-669995		19760324
PRIORITY APPLN. INFO.:			LU 1973-34590	A.	19731227
			US 1974-531344	Á2	19741210

ED Entered STN: 12 May 1984

GI .

The title compds. I [R = CR2:CHCOR3, CHR2CH2CH(OH)R3 (R2 = H, Me; R3 = an aromatic or quasi-aromatic 5- or 6-membered monocyclic ring, with 1 or 2 N, O, and (or) S atoms, which can be substituted with 1 or more_Me groups, and connected via a C atom); R1 = alkoxymethyl, alkoxyalkoxy, hydroxyalkoxy, NHCONR4R5 (R4 and R5 = H, alkyl, alkenyl, cycloalkyl; NR4R5 = a saturated 5- or 6-membered heterocyclic group, which may have O or S as an addnl. heteroatom), and contain C1-4 alkyl or alkoxy groups, C3-4 alkenyl groups, or C5-7 cycloalkyl groups] as well as their aldehyde condensation products and acid addition salts, were prepared by treating 4-R1C6H4OCH2R6 [R6 = 2- oxiranyl, CH(OH)CH2X (X = halo) with H2NR (R as above) and the compds. formed, if necessary, converted with R7CHO (R7 = H, C1-4 alkyl) into the oxazolidine

II, or, with acid into the acid addition salts. Thus, e.g., aminobutanol III in PhMe was treated with epoxide IV and the mixture stirred 36 h at room temperature to give the dihydroxyamine V. III was prepared by treating nicotinoylacetone K salt in EtOH with PhCH2NH2.HCl, stirring the mixture 24 h at room temperature (88% yield), reducing the product R9CH:CMeNHCH2Ph (R9 = nicotinoyl) with NaBH4 (62% yield), and debenzylating the amino alc. VI. An addnl. 57 I and 1 oxazolidine derivative were prepared Selected I had ED50 0.003-0.093 mg/kg (dog) as β 1-receptor inhibitors and ED50 1.02-15.59 mg/kg (dog) as β 2-receptor inhibitors [vs. 0.238 and 26.505 for 4-Me2CHNHCH2CH(OH)CH2OC6H4NHAc] and are useful in treating arrhythmia and other heart disorders.

IT 57725-49-2P

(preparation of)

RN 57725-49-2 HCAPLUS

CN 2-Propen-1-one, 3-[[3-[4-(ethoxymethyl)phenoxy]-2-hydroxypropyl]amino]-1-(2-thienyl)- (9CI) (CA INDEX NAME)

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OH \\
CH = CH - NH - CH_2 - CH - CH_2 - O \\
CH_2 - OEt
\end{array}$$

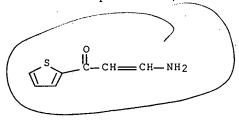
IT 65653-29-4

(reaction of, with glycidyl Ph ethers)

(reaction of, with glycidyl Ph ethers)

RN 65653-29-4 HCAPLUS

CN 2-Propen-1-one, 3-amino-1-(2-thienyl)- (9CI) (CA INDEX NAME)



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     C07D213-30.
CC
     27-17 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 28
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                    57725-46-9P
                                  57725-47-0P
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                    57725-82-3P
                                                 57725-89-0P. 57725-90-3P
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                    57725-92-5P
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                                                 57953-59-0P
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                    65653-38-5P
        (preparation of)
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                                                            56736-23-3
I,T
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                   65653-30-7
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L38 ANSWER 34 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1978:89525 HCAPLUS Full-text

DOCUMENT NUMBER:

88:89525

TITLE:

1-Phenoxy-3-aminopropan-2-ol derivatives and their

acid addition salts

PATENT ASSIGNEE(S):

Cassella Farbwerke Mainkur A.-G., Fed. Rep. Ger.

SOURCE:

Austrian, 20 pp. CODEN: AUXXAK

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
AT 339306	В	19771010	AT 1974-10166		19741219
AT 7410166	Α	19770215			
US 4088764	A	19780509	US 1974-531344		19741210
FI 7403631	A	19750628	FI 1974-3631		19741216
NO 7404530	Α	19750630	NO 1974-4530		19741216
SE 7415761	Α	19750630	SE 1974-15761		19741216
DK 7406547	A	19750825	DK 1974-6547		19741216
DD 117071	A5	19751220	DD 1974-183198		19741219
ZA 7408082	A	19760128	ZA 1974-8082		19741219
SU 559643	A3	19770525	SU 1974-2085461		19741219
SU 598557	A3	19780315	SU 1974-2085234		19741219
HU 171726	В	19780328	HU 1974-CA376		19741219
CA 1047512	A1	19790130	CA 1974-216421		19741219
	A	19780103	US 1976-669995		19760324
US 4066768	Α	13,00103	LU 1973-34590	Α	19731227
PRIORITY APPLN. INFO.:			20 20 0 0 0 0		
			US 1974-531344	A2	19741210

Entered STN: 12 May 1984 ΕD

GΙ

$$R1$$
 OCH₂CH (OH) CH₂NHR I

 $R1$ OCH₂ OCH₂ $R7$ II

MeO (CH₂) 40. OCH₂CHCH₂NHCMe= CHCO bh

The title compds. I [R = CR2:CHCOR3, CHR2CH2CH(OH)R3] (R2 = H, Me; R3 = an)AΒ aromatic or quasi-aromatic 5- or 6-membered monocyclic ring, with 1 or 2 N, O, and (or) S atoms, which can be substituted with 1 or more Me groups, and connected via a C atom); R1 = alkoxymethyl, alkoxyalkoxy, hydroxyalkoxy, NHCONR4R5 (R4 and R5 = Ph, alkyl, alkenyl, cycloalkyl; NR4R5 = a saturated 5or 6-membered heterocyclic group, which may have O or S as an addnl. heteroatom), and contain C1-4 alkyl or alkoxy groups, C3-4 alkenyl groups, and

C5-7 cycloalkyl groups] as well as their aldehyde condensation products and acid addition salts, were prepared by treating 4-R1C6H4OCH2CH(OH)CH2NH2 with RR6 (R as above, R6 = halo, OH, OK, ONa) and the obtained I, if necessary, converted with R7CHO (R7 = H, C1-4 alkyl) into oxazolidines II or with an acid into acid addition salts. Thus, e.g., $4-MeO(CH2) \cdot 4OC6H4OCH2CH(OH) \cdot CH2NH2$ (III) in EtOH was treated with nicotinoylacetone and the mixture treated with 1 drop HCO2H and refluxed 3 h to give 78% the nicotinoylvinylamino ether IV. Nicotinoylacetone was prepared by dropwise treatment of KOCMe3 in C6H6 with EtOAc and 3-acetylpyridine at 10° and keeping the mixture 24 h at room temperature III was prepared by heating 4-HOC6H4OCH2Ph with MeO(CH2)4Br in Me2CO with excess K2CO3, hydrogenolysis of the formed 4-MeOC6H4OR8 (V, R8 = CH2Ph), treating the phenol V (R = H) with epichlorohydrin, and ammonolysis of the resulting glycidyl ether V (R = glycidyl). An addnl. 54 I and 1 oxazolidine derivative were prepared Selected I had ED50 0.003-0.093 mg/kg (dog) as β 1-receptor inhibitors and ED50 1.02-15.59 mg/kg (dog) as β 2-receptor inhibitors [vs. 0.238 and 26.505 for 4-Me2CHNHCH2CH(OH)CH2OC6H4NHAc] and are useful in treating arrhythmia and other heart disorders.

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57725-49-2P
ΙT
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RN

CN

(preparation of) 57725-49-2 HCAPLUS

2-Propen-1-one, 3-[[3-[4-(ethoxymethyl)phenoxy]-2-hydroxypropyl]amino]-1-(2-thienvl)- (9CI) (CA INDEX NAME)

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C07D213-30
IC
     27-17 (Heterocyclic Compounds (One Hetero Atom))
CC
     Section cross-reference(s): 28
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     57725-38-9P
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        (preparation of)
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L38 ANSWER 35 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
```

1976:30897 HCAPLUS Full-text

DOCUMENT NUMBER:

84:30897

TITLE:

Heterocyclic derivatives of 1-amino-3-phenoxy-2-

propanol

INVENTOR(S):

Raabe, Thomas; Graewinger, Otto; Scholtholt,

Josef; Nitz, Rolf E.; Schraven, Eckhard

PATENT ASSIGNEE(S):

Cassella Farbwerke Mainkur A.-G., Fed. Rep. Ger.

SOURCE:

Ger. Offen., 61 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 2458744	A1	19750710	DE 1974-2458744	_	19741212
NL 7416377	Α	19750701	NL 1974-16377		19741216
FR 2255893	A1	19750725	FR 1974-42024		19741219
AU 7476664	Α	19760624	AU 1974-76664		19741219
GB 1443135	Α	19760721	GB 1974-54911		19741219
ES 433131	A1	19770216	ES 1974-433131		19741219
ES 433132	A1	19770216	ES 1974-433132		19741219
ES 433133	A1	19770216	ES 1974-433133		19741219
CH 602716	A5	19780731	CH 1974-16973		19741219
CH 603584	A 5	19780831	CH 1974-16972		19741219
CS 184837	В2	19780915	CS 1974-8779		19741219
CS 184838	B2	19780915	CS 1974-8780		19741219
CS 184850	B2	19780915	CS 1977-1030		19741219
· СН 605758	A5	19781013	CH 1974-16974		19741219
RO 69155	A1	19810330	RO 1974-80875		19741219
RO 68397	A1	19810626	RO 1974-80874		19741219
RO 69154	A1	19810730	RO 1974-80873		19741219
JP 50096562	Α	19750731	JP 1974-148532		19741226
PRIORITY APPLN. INFO.:			LU 1973-69079	A	19731227

ED Entered STN: 12 May 1984

1-Phenoxy-3-amino-2-propanols 4-RC6H4OCH2CH(OH)CH2NHR1 (I; R = alkoxymethyl, alkoxyalkoxy, hydroxyalkoxy, or substituted ureido; R1 = CR2:CHCOR3 or CHR2CH2CHR3OH, where R2 = H or Me, and R3 = a C-bonded 5- or 6-membered heterocyclic ring containing 1 or 2 N, S, and/or O atoms), which were β -receptor blocking agents, were prepared by reacting 4-RC6H4OCH2CH(OH)CH2NH2 with R1X, where X = Br or C1. Among 56 I thus prepared were (R, R1 given): MeO(CH2)4O, CMe:CHCOR3 (R3 = 3-pyridyl); EtOCH2, 2-(2-thienylcarbonyl)vinyl; EtNHCONH, 2-[(2,4-dimethyl-2-pyrimidinyl)carbonyl]-1-methylvinyl; HOCH2CH2O, 3-(1,5-dimethylpyrazol-4-yl)-3-hydroxy-1-methylpropyl; and morpholinocarboxamido, 3-hydroxy-1-methyl-3-(6-methyl-3- pyridyl)propyl.

IT 57725-49-2P

(preparation of)

RN 57725-49-2 HCAPLUS

CN 2-Propen-1-one, 3-[[3-[4-(ethoxymethyl)phenoxy]-2-hydroxypropyl]amino]-1-(2-thienyl)- (9CI) (CA INDEX NAME)

IC C07D

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 25, 28

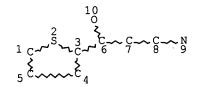
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E2205 40 0D	E330E E0 ED	C770C C1 CD	57705 EO 7D	
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57725-98-1P	57725-99-2P	57726-00-8P	57726-01-9P	57726-02-0P
57726-22-4P	57953-56-7P	57953-57-8P	57953-58-9P	57953-59-0P
(preparati	ion of)			

=> d que 147

L9

STR



NODE ATTRIBUTES:

NSPEC IS RC AT 7 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 10

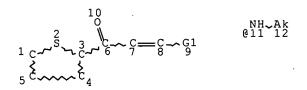
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L23

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23 ST



VAR G1=NH2/11 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

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L47 ANSWER 1 OF 18 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 144:350664 MARPAT Full-text

Heterocyclic compounds, compositions and methods TITLE:

> of inhibiting α -synuclein toxicity and diseases in which α -synuclein fibrils are a

symptom

Lindquist, Susan L.; Outeiro, Tiago; Labaudiniere, INVENTOR(S):

Richard

Whitehead Institute for Biomedical Research, USA; PATENT ASSIGNEE(S):

Foldrx Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 263 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

GI

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT	NO.		KI	ND .	DATE			Al	PPLI	CATI	ON NO). I	DATE		
WO	2006	0340	03	A:	2 .	2006	0330		W	200	ว5-ช:	330	50	2005	0916	
WO	2006	0340	03	A.	3	2006	0713									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
	GB, GD,		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	
	KP, KR,			ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
	MN, MW,			MX,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,
		ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,
		TG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,
		ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM					
PRIORITY	APP	LN.	INFO	.:					U	S 20	04-6	1079	6P	2004	0917	

$$(R^2)$$
 n $N = R^3$ N $ZR1$

Compds. and compns. are provided for treatment or amelioration of one or more AΒ symptoms of α -synuclein toxicity, α -synuclein mediated diseases or diseases in which α -synuclein fibrils are a symptom or cause of the disease. In one embodiment, the compds. for use in the compns. and methods are heteroaryl acylguanidines, heteroarylhydrazones, dihydropyridones, heteroaryl and aryl

styryl ketones, and heteroarylpyrazoles. One class of the compds. claimed is represented by the general formula I (wherein, X = O, S or NR, where R = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or aralkyl; Y = NRR' or OH; where R' = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or aralkyl; Z = a direct bond or NR; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl, aralkenyl, heteroaralkyl or heteroaralkenyl; n = 0-4; R2 = (i) H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heteroarylium, etc. or [ii] any 2 R2 groups, which substitute adjacent atoms on the ring, together form alkylene, alkenylene, alkynylene or heteroalkylene; R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl or heteroaryl; wherein X, Y, Z, R1, R2 and R3 are each independently unsubstituted or substituted). Methods for preparing the various classes of heterocycles are exemplified. In an assay that measured the ability of the compds. to rescue humanized yeast cells from α synuclein toxicity, the compds. of the invention had MRC (min. rescue concentration) values of $< 300 \mu M$.

MSTR 4

 $G2 = 337-302 \ 336-37$

G11 G12 337 336

G12 = NH2 (opt. substd.)

G14 = thienyl

Patent location: claim 33

Note: additional substitution also claimed

Note: or pharmaceutically acceptable derivatives

L47 ANSWER 2 OF 18 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 143:326218 MARPAT Full-text

TITLE: Preparation of fluorenone 1,4-dihydropyridine

derivatives for use as cardiovascular agents

INVENTOR(S): Ergueden, Jens-Kerim; Kolkhof, Peter; Sandner,

Peter; Kuhl, Alexander; Stasch, Johannes-Peter;

Pook, Elisabeth; Schlemmer, Karl-Heinz

PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

LANGUAGE: GEIM

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATE	PATENT NO.					DATE			A	PPLI	CATI	ои ис). I	DATE		
WO 20	00508	8774	10	A.	1 :	2005	0922		W	O 20	05-E1	P212	9 :	20050	3301	
7	W: A	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,
	(CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
	(GΒ,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,
	KR, K2 MX, M2				LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,
	ľ	MX,	MZ,	NA,	NI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,
	SE, SG				SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,
	UZ, VC			VN,	YU,	ZA,	ZM,	ZW								
1	RW: I	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	Z₩,
	7	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,
	I	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	ΗU,	ΙE,	IS,	IT,	LT,	LU,	MC,
	1	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,
	(GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG						
DE 1	02004	4012	2365	A.	1 :	2005	0929		D)	E 20	04-1	0200	4012	3652	00403	313
PRIORITY A	APPLI	N.]	ENFO	.:					D)	E 20	04-1	0200	4012	3652	00403	313
GI																

$$\begin{array}{c|cccc}
R^2 & & & & & & & & \\
R^2 & & & & & & & & & \\
R^3 & & & & & & & & & \\
R^3 & & & & & & & & & \\
\end{array}$$

The invention relates to substituted dihydropyridines I [R1 = Ra, Rb, Rc; R2 = AB CN, (un) substituted 5- to 7-membered heterocycle, 5- to 10-membered heteroaryl, C(:0)R7; R3, R4 = NH2, CF3, Me, Et, (C1-3-alkyl)-OCH2Z, (C1-3-alkyl)-OCH2Zalkyl)-SCH2Z; R5 = (un)substituted C1-6-alkyl, C3-7-cycloalkyl, OR10; R6 = H, halogen; R7 = 5- to 7-membered heterocycle, 5- to 10-membered heteroaryl, NR8R9; R8 = H, C1-6-alkyl; R9, R10 = C1-6-alkyl, C3-7-cycloalkyl, C6-10-aryl, 5- to 7-membered heterocycle, 5- to 10-membered heteroaryl], and their salts, solvates or solvate salts, and methods for the production and use thereof in the treatment and/or prophylaxis of diseases, in addition to the use thereof in the production of medicaments for the treatment and/or prophylaxis of diseases, particularly cardiovascular diseases. The procedure for the preparation of, comprises: (A) a one-pot reaction of R1CHO with R2CH:CR3NH2 (R2 and R3 = cis) and R5C(:0)CH2C(:0)R4; or (B) a two stage reaction of R1CH0 with R5C(:O)CH2C(:O)R4 in the first stage and R2CH:CR3NH2 (R2 and R3 = cis) in the second stage; or (C) reaction of R1CHO with R2CH: CR30Na (R2 and R3 = cis) and H2NCR4:CHC(:O)R5 [R4 and C(:O)R5 = cis]. Thus, (-)-I [R1 = Ra, R2 = CN, R3 = R4 = Me, R5 = OCHMe2] was prepared from Me 9-oxo-9H-fluorene-4-

carboxylate via reduction with RED-Al, cyclocondensation with MeC(NH2):CHCN and MeC(:0)CH2CO2CHMe2 and chromatog. resolution The cardiovascular activity of (-)-I [R1 = Ra, R2 = CN, R3 = R4 = Me, R5 = OCHMe2] was determined [IC50 = 15 nM vs. mineralocorticoid receptor].

MSTR 3

G1___G2

= NH2G1 = 257G2

= thienyl

Patent location:

claim 4

Note:

oxo and thioxo substitution also claimed

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L47 ANSWER 3 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

2

ACCESSION NUMBER:

143:194019 MARPAT Full-text

TITLE:

Two-phase method for the synthesis of

pyrazolopyrimidine derivatives via

heterocyclization of aminopyrazoles with propenone

derivatives

INVENTOR(S):

Cantrell, Gary Lee; Moser, Frank William;

Halvachs, Robert Edward Mallinckrodt Inc., USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.				ND !	DATE			ΑI	PPLI	CATIO	ON NO). I	DATE		
		- -														
WO	20050	709	31	A:	1 :	20050	0804							20042		
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	B₩,	BY,	ΒZ,	CA,
	•	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,
•		KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,
						NO,										
		SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪG,	US,	UZ,
						ZM,										
	RW:					LS,										
		AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,

DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004-314335 20041202 20050804 AU 2004314335 A1 CA 2004-2553465 20041202 CA 2553465 Α1 20050804 20041202 EP 2004-812693 EP 1713808 Α1 20061025 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS US 2004-536302P 20040114 PRIORITY APPLN. INFO.: WO 2004-US40241 20041202

GI

$$R^3$$
 R^3
 R^3

The invention relates to a two-phase method for the synthesis of pyrazolopyrimidine derivs. of formula I [wherein: R1 is H, F, C1, formyl, carboxyl, or CN, etc.; R2 is H, F, CN, cyanomethyl, or carbamoyl, etc.; R3 is Ph, o-trifluoromethylphenyl, m-methoxyphenyl, or pyridyl, etc.], useful as anxiolytics, anticonvulsants, or muscle relaxants, etc. (no data). The invention compds. were prepared via heterocyclization of aminopyrazole derivs. or a salt thereof with 1-oxo-2-propenyl-arene(heterocycle) under acidic conditions in a reaction medium including a two-phase mixture of an aqueous solution and a water-immiscible organic liquid For instance, pyrazolopyrimidine derivative II (zaleplon) was prepared via heterocyclization of N-[(oxopropenyl)phenyl]- N-ethylacetamide III with 3-amino-4-cyanopyrazole in 2-phase mixture consisting of water, 2-butanone, and heptafluorobutyric acid with a yield of 100%.

MSTR 3

= thienyl

Patent location:

claim 15

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR 5

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L47 ANSWER 4 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

143:78203 MARPAT Full-text

TITLE:

Preparation of 2-(benzoylphenylamino)-3-

(heterocyclylpropynylaryl)propionates as

peroxisome proliferator mediated receptor (PPAR)

activators for treatment of diabetes.

INVENTOR(S):

Salman, Mohammad; Sattigeri, Jitendra A.

PATENT ASSIGNEE(S):

Ranbaxy Laboratories Limited, India

SOURCE:

PCT Int. Appl., 35 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT I	. O <i>v</i>		KII	ND I	DATE		•	Al	PPLI	CATIO	ON NO). I	DATE			
WO	2005																
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	B₩,	BY,	ΒZ,	CA,	
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	
		GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	
		KR, KZ		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	
		MX, MZ		NA,	NI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	
		MX, MZ, SE, SG,		SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	
		VC,	VN,	YU,	ZA,	ZM,	zw										
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	
		AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	
		DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LU,	MC,	NL,	
		PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	
		GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG								
RITY	Y APP	LN.	INFO	.:					U	S 20	03-5	2830	3P	2003	1210		_

PRIO

AXBYCR1R4COR6 [A = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, acyl, AΒ acyloxy, aryl, heterocyclyl; X = (CH2)nO(CH2)nCH2C.tplbond.C, NR(CH2) nCH2C.tplbond.C, (CH2) nCH2C.tplbond.C; n = 0-3; R = H, alkyl; B = aryl, heterocyclyl; Y = (CH2)m; m = 1-3; R1 = H, alkyl; R6 = OR2, NR2R3; R2, R3 = H, alkyl; NR2R3 = heterocyclyl; R4 = NHDCOE, NHCR5:CHCO2H, etc.; R5 = alkyl; D, E = (substituted) Ph, naphthyl, thienyl, pyridinyl, thiazolyl], were claimed (no data).

MSTR 1

G19 = thienyl G20 = (1-3) CH2

Patent location:

claim 1

Note:

or pharmaceutically acceptable salts,

pharmaceutically acceptable solvates, N-oxides,

polymorphs or metabolites

Stereochemistry:

or enantiomers

MSTR 2

G4 = 54

G19 = thienyl G20 = (1-3) CH2

Patent location:

claim 26

REFERENCE COUNT: .

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L47 ANSWER 5 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

142:155944 MARPAT Full-text

TITLE:

Preparation of pyrazole derivatives as CCK-1

receptor modulators for the treatment of

gastrointestinal and CNS disorders

INVENTOR(S):

Choudhury, Anusuya; Grimm, Jeffrey S.; Jones, Todd

K.; Liang, Jimmy T.; Mani, Neelakandha; Sorgi,

Kirk L.

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Belg.

SOURCE:

PCT Int. Appl., 353 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	CENT I	NO.		KI	ND	DATE			A	PPLI	CATI	ои ис	ο.	DATE		•
		2005								W	20	04-U:	5210	20	20040	0630	
	WO	2005	0053	93	A.	3	20050	0224									
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,
			CH,	CN,	CO,	CR,	CU,	CZ,	DΕ,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
			GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,
			KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,
			MX,	ΜZ,	NA,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,
			SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,
			VC,	VN,	YU,	ZA,	ZM,	zw									
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,
			AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,
			DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,
			PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,
			GW,	ML,	MR,	NE,	SN,	TD,	TG								
	ΑU	2004	2561	06	A.	1	2005	0120		A	U 20	04-2	5610	6	2004	0630	
	CA	2530	737		A.	1	2005	0120		C	A 20	04-2	5307	37	2004	0630	
		2005									S 20	04-8	8207	7	2004	0630	
	US	2005	0269	03	A.	1	2005	0203		U	S 20	04-8	8162	8	2004	0630	
	ΕP	1641	762		A:	2	2006	0405		E	P 20	04-7	5643	6	2004	0630	
		R:	AT,	BE,	CH,	DE,	DK,	ĖS,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,
			PT,	ΙE,	SI,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK		
	BR	2004	0122	69	Α		2006	0905		B:	R 20	04-1	2269		2004	0630	
	CN	1845	901		Α		2006	1011		C	N 20	04-8	0024	831	2004	0630	
	NO 2006000557						2006	0323		N	0 20	06-5	57		2006	0202	
PRIO	PRIORITY APPLN. INF									U	S 20	03-4	8431	9P	2003	0702	
										U	S 20	03-4	8437	0 P	2003	0702	
										W	0 20	04-U	S210	20	2004	0630	
						~~ ~											

OTHER SOURCE(S):

CASREACT 142:155944

GI

MeO

N

N

N

R

$$1 \times 1 \times 2$$
 $1 \times 1 \times 1 \times 2$

R

 $1 \times 1 \times 2$

The invention relates to certain pyrazole based CCK-1 receptor modulators I [wherein R1 (1- or 2-position) = (un)substituted Ph, naphthyl, cycloalkyl, heterocyclyl or alkyl; R2, Ar = (un)substituted Ph, naphthyl, cycloalkyl or

heterocyclyl; R3 = H, halo or alkyl; n = 0-2; R4 = H, halo, alkyl or absent when the double bond is present; R5 = COOH, ester, amide or certain triazolylsulf(a/o/i)nyl; etc., or enantiomers, diastereomers and pharmaceutically acceptable salts and esters thereof] and methods for their preparation For example, condensation of 3,4-dichloroacetophenone with di-Et oxalate in the presence of LiHMDS followed by regioselective cyclization with 4-methoxyphenylhydrazine hydrochloride gave pyrazole II (R = COOEt). This ester was then converted to iodide II (R = CH2I) via DIBAL reduction, mesylation with methanesulfonyl chloride and substitution with NaI. Enantioselective alkylation of chiral oxazolidinone III (preparation given) with II (R = CH2I) followed by hydrolysis mediated by H2O2-LiOH afforded IV. Sodium salt of IV showed affinity for CCK-1 receptor with pKi of 8.0. Therefore, I are useful in treating diseases mediated by CCK receptors, such as gastrointestinal and CNS disorders.

MSTR 4

ŅH2 G15-C(0)-CH -CH2-G1

= thienyl

Patent location:

claim 299

L47 ANSWER 6 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

138:304276 MARPAT Full-text

TITLE:

Preparation of pyrazoles as glycine transporter

protein inhibitors for the treatment of

neurodegenerative diseases

PATENT ASSIGNEE(S):

Merck Patent G.m.b.H., Germany; Yamanouchi

Pharmaceutical Co. Ger. Offen., 62 pp.

SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATE	ENT I	NO.		KI	ND	DATE			Al	PPLI	CATI	ои ис	٥.	DATE			
WO 2	2003	9370 0314	35		1	2003	0417							2001: 2002:			
		0314			-	2003		2.0		2.0	DC.		DΥ	ם מ	C7	CII	
	W:													BZ,			
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	ĒĒ,	ES,	FI,	GB,	GD,	
	GE, GH,			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	
	LC, LK,		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,		
	LC, LK, NO, NZ,		OM,	PH,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,		
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw	
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
		BY,	ΚĠ,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
RIORITY	APP													2001			

PR

GΙ

Title compds. I [X = CH, N; R1 = H, A, halo, etc.; R2 = Ph, p-chlorophenyl; R3, R4 = H, (CH2)nCO2R5, CHO, etc.; R5 = H, A; A = alkyl, alkenyl, alkoxyalkyl, etc.; n = 0-5] and their pharmaceutically acceptable salts were prepared For example, condensation of enamine II e.g., prepared from 1,1-dimethoxy-N,N-dimethylmethanamine and 2-fluoro- β -oxo-benzenepropanoic acid Et ester, and aryl hydrazine III, e.g., prepared from 2-chloro-5-nitropyridine in 3-steps, provided pyrazole IV (no yield provided). In glycine transporter protein inhibition studies, approx. 71-examples of compds. I exhibited IC50 values ranging from 0.15 - 8.7 μ M, e.g., the IC50 value of pyrazole IV = 2.5 μ M. Compds. I are claimed useful for the treatment of schizophrenia, depression, dementia, etc.

MSTR 3

G12 = thienyl G24 = NH2

Patent location:

claim 9

L47 ANSWER 7 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 136:336180 MARPAT <u>Full-text</u>

TITLE: Diabetes diagnosis by genotyping insulin receptor

gene single-nucleotide polymorphisms Hosford, David; Purvis, Ian James

INVENTOR(S): Hosford, David; Purvis, PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT		KI	ND	DATE)И ИС		DATE				
	WO	2002	0331	21	Α.	2	2002	0425					B4660		2001	1019	
	WO	2002	0331	21	A.	3	2003	1016									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,
			GE, GH, LC, LK,			HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,
			LC, LK,			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,
			NO,	PH,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	
			TR,	TT,	·TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW				
		RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZW,	AM,	ΑZ,	BY,
			KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,
			GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	ВJ,	CF,	CG,	CI,
-			CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
	AU 2001095752					5	2002	0429		A	U 20	01-9	5752		2001	1019	
PR	IORITY	APP	LN.	INFO	.:					G:	в 20	00-2	5678		2000	1019	
										M	20	01-G	B466	0	2001	1019	

The invention provides a method of diagnosing diabetes or susceptibility to AB diabetes in an individual, comprising typing (i) the insulin receptor gene region or (ii) the insulin receptor protein of the individual. The invention also provides a diagnostic kit that comprises a polynucleotide, probe, primer, antibody (including an antibody fragment) or agent as defined herein. The invention also provides a nonhuman animal which has diabetes (typically type II diabetes) or is susceptible to diabetes and which is also transgenic for a polymorphism as mentioned above. The invention provides a method for treating a patient who has been diagnosed as having or being susceptible to diabetes by a method of the invention, comprising administering an effective amount of an anti-diabetes agent or an agent that prevents the development of diabetes to the patient. The inventors have shown that naturally occurring polymorphisms in the insulin receptor are functional. These functional polymorphisms are associated with migraine, a condition that is overrepresented in diabetics. The inventors isolated 48 single-nucleotide polymorphisms within the locus, of which we genotyped in a Caucasian population comprising 827 unrelated cases and 765 controls. Five single-nucleotide polymorphisms within the insulin receptor gene showed significant association with migraine. This association was independently replicated in a case-control population collected sep.

MSTR 2

G4 = thienyl

Patent location:

claim 15

L47 ANSWER 8 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

135:344368 MARPAT <u>Full-text</u>

TITLE:

Process for the regioselective synthesis of

3,4-diaryl substituted thiophenes INVENTOR(S): Brown, David L.; Ludwig, Cindy L.

PATENT ASSIGNEE(S):

Pharmacia Corporation, USA

SOURCE:

PCT Int. Appl., 74 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.				KIND DATE					APPLICATION NO. DATE							
W	2001	0813	33	A:	2	2001	1101		W	20	01-U	S130	92	2001	0420		
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR;	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	
		ΝZ,	PL,	PT,	ŔO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	
		ΤZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW							
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LŲ,	MC,	NL,	PT,	SE,	
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG
US	3 2002	1833	62	A	1	2002	1205		U	S 20	01-8	3942	4	2001	0420		
El	2 1276	736		A.	2	2003	0122		Ė	P 20	01-9	2878	1	2001	0420		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	
		PT,	ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR					•
	6600																
J1	2003	5312	02	T		2003	1021		J	P 20	01-5	7842	4	2001	0420		
US	2003	2329	96	Α	1	2003	1218		U	S 20	03-2	5850	7	2003	0416		
PRIORI'	ry App	LN.	INFO	.:					U	S 20	00-1	9953	3P	2000	0425		
									U	S 20	00-2	5338	0 P	2000	1127		
									, W	20	01-U	S130	92	2001	0420		
OTHER S	SOURCE	(S):			CAS	REAC'	T 13	5:34	4368								

GI

	9957310 1102757	A1 A1	20000228 20010530	AU 1999-57310 EP 1999-944335	19990805 19990805
· EP	1102757	B1	20040414		
	R: AT, BE,	CH, DE,	DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC,
	PT, IE,	SI, LT,	LV, FI, RO		
TR	200100372	Т2	20010921	TR 2001-200100372	219990805
BR	9912866	A	20011030	BR 1999-12866	19990805
HU	200103469	A2	20020128	HU 2001-3469	19990805
EE	200100074	Α	20020617	EE 2001-74	19990805
AΤ	264313	Т	20040415	AT 1999-944335	19990805
ES	2220110	Т3	20041201	ES 1999-944335	19990805
ZA	2001000983	Α	20020305	ZA 2001-983	20010205
NO	2001000628	Α	20010406	NO 2001-628	20010206
HR	2001000095	A1	20020228	HR 2001-95	20010207
US	6498174	В1	20021224	US 2001-762445	20010222
PRIORIT	Y APPLN. INFO	. :		GB 1998-17118	19980807
				WO 1999-EP5666	19990805

$$R^4$$
 X
 R^6
 $CO2R^1$
 R^3CO
 R^5

The title compds. [I; R1 = H, alkyl; R2 = H, alkyl, haloalkyl; R3 = alkyl, cycloalkyl, cycloalkenyl, etc.; R4 = (un)substituted 5-6 membered heterocyclyl containing at least one O, N or S atom, Ph; R5 = H, halo, alkyl, haloalkyl; R6 = H, alkyl; X = O, S; n = 1-3], which are dual activators of hPPARγ and hPPARα, were prepared Thus, refluxing a suspension of (2S)-2-amino-3-{4-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl}propanoic acid (preparation given) and benzoylacetone in MeOH and trimethylorthoformate afforded 43% (2S)-(Z)-I [R1 = H; R2 = Me; R3 = Ph; R4 = Ph; R5 = H; R6 = Me; X = O; n = 2] which showed 39% glucose reduction in rats.

MSTR 1

GΙ

G3 = thienyl (opt. substd. by 1 or more G12)

Derivative:

or tautomers, pharmaceutically acceptable

salts, or solvates

Patent location:

claim 1

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L47 ANSWER 11 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

130:291600 MARPAT Full-text

TITLE:

Amides, bone formation promoters containing them,

and their use as antiosteoporotic agents

INVENTOR(S):

Shibata, Saizo; Omori, Fujimi; Nakagawa, Takashi Japan Tobacco, Inc., Japan

PATENT ASSIGNEE(S):

SOURCE:

Jpn. Kokai Tokkyo Koho, 45 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

LANGUAGE:

Patent Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11080107	A	19990326	JP 1997-251360	19970901
PRIORITY APPLN. INFO.	: .		JP 1997-251360	19970901
CI				

Bone formation promoters contain amides I [W = H, amino, NHCOR3 (R3 = lower AΒ alkyl), lower alkoxycarbonyl, cycloalkyl, naphthyl, morpholino, thienyl, phthalimido, benzoyl, benzyloxy, C6H4R4 (R4 = H, halo, lower alkyl, lower alkoxy); Y = O, NHCO2, NHCO, CONH, CO, CO2, OCO, CO(CH:CH)u (u = 1, 2), direct bond; ring A = benzene, naphthalene, cyclohexane, biphenyl, di-Ph ether, pyridine, isoxazole, thiophene; R1 = H, halo, NO2, lower alkyl, lower alkoxy; R2 = H, lower alkyl; Z = halo, OH, lower alkyl, lower alkoxy, lower alkoxycarbonyl, carboxy, NR5R6 [R5, R6 = H, (hydroxy)alkyl, aryl, lower alkylcarbonyl], N+R7R8R9 [R7, R8 = lower alkyl, aralkyl; R9 = lower alkyl, (halo)aralkyl, arylcarbonylalkyl], SR10 (R10 = lower alkyl, aralkyl), SO2R11 (R11 = lower alkyl, aralkyl), SOR12 (R12 = lower alkyl, aralkyl), S+R13R14 (R13, R14 = lower alkyl), morpholino, pyridyl, pyridinio, Q (R15 = lower alkyl), Q1 (R16 = lower alkyl), Q2 (R17 = lower alkyl), Q3 (R18 = lower alkyl); R2 and R5 may be bonded to each other to form Q4 (R6 = any group given above); R2 and R7 may be bonded to each other to form Q5 (R8, R9 = any group given above), m = 0-20; n = 0-4] or their pharmaceutically acceptable salts as active ingredients. Pharmaceutical compns. and antiosteoporotic agents containing I or their salts are also claimed. N-[2-(dimethylamino)ethyl]4-(nonyloxy) benzamide hydrochloride (preparation given) at 3 µM showed 244% osteoblast growth promoting activity.

MSTR 1

$$G1 = 11$$

198-196

$$G6 = NH2$$

G8 = 25-2 26-12

28(0)2810

G10 = (1-2) CH=CH G17 = 412-1 411-3

Patent location:

claim 1

Note:

substitution is restricted

L47 ANSWER 12 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

128:91873 MARPAT Full-text

TITLE:

Tin-silver alloy electroplating noncyanide baths

containing surfactants

INVENTOR(S):

Masaki, Seiji; Kondo, Tetsuya; Nawafune, Hidemi

Daiwa Kasei Kenkyusho K. K., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 23 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09302498	Α	19971125	JP 1996-143481	19960515
JP 3538499	В2	20040614		
PRIORITY APPLN. INFO.	:		JP 1996-143481	19960515

Claimed electroplating baths contain ≥1 of surfactants and (I) divalent Sn compds. and monovalent Ag compds., (II) Sn compound stabilizers selected from (a) CO-3 aliphatic dicarboxylic acids, (b) C1-2 aliphatic hydroxymonocarboxylic acids, (c) C1-3 aliphatic hydroxypolycarboxylic acids, (d) monosaccharides, their partially oxidized polyhydroxycarboxylic acids, or their cyclic ester compds., (e) C1-4 aliph mono or di-amino, mono or di-carboxylic acids or , (f) C2-3 aliphatic monomercaptomonocarboxylic acids, or aliphatic monomercaptomonoaminomonocarboxylic acids, (g) C2-3 aliphatic monosulfomonocarboxylic acids or aliphatic monosulfomonocarboxylic acids or aliphatic monosulfodicarboxylic acids, (h)amine carboxylic acids, e.g., EDTA, IDA, NTA, (i) condensed phosphoric acid, (j) C1-3 hydroxyalkanebisphosphonic acids or their salts, and (III) Ag compound stabilizers selected from (a) thiourea or C1-3 mono or dialkylthiourea, (b) thiosulfate, (c) iodine compds., and (d) Br compds. Resulting products have good surface smoothness and film adhesion.

MSTR 14

G1 = NH2 G4 = C(O)

G5 = thienyl (opt. substd.)

Patent location: claim 3

Note: additional ring formation also claimed

L47 ANSWER 13 OF 18 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 125:328287 MARPAT Full-text

TITLE: . Preparation of aromatic β -amino enones

INVENTOR(S): Seko, Shinzo; Myake, Kunihito
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				-
JP 08225502	Α	19960903	JP 1995-111664	19950510
PRIORITY APPLN. INFO.	:		JP 1995-111664	19950510
			JP 1994-319959	19941222

OTHER SOURCE(S): CASREACT 125:328287

AB R5NHCR1:CR3COR2 [I; R1, R2 = (substituted) aryl; R3 = H, (substituted) C1-10 alkyl or aryl; R5 = H, C1-6 alkyl, cycloalkyl, aralkyl) are prepared by treating R4OR5NCHR1CHR3COR2 (II; R1-3, R5 = same as I; R4 = C1-6 alkyl, aralkyl) with Me3COK or Me3CONa in aprotic polar solvents or ether solvents. II may be prepared by reaction of R1CH:CR3COR2 (R1-3 = same as I) with R5NHOR4 (R4, R5 = same as above). Refluxing an EtOH solution of chalcone and NH2OMe for 4 h gave 99% II (R1 = R2 = Ph, R3 = R5 = H, R4 = Me), which was treated with Me3COK in DMF at 25° for 10 min to give 55% I (R1 = R2 = Ph, R3 = R5 = H).

MSTR 2

G1 = 60

G5 = NH2

Patent location: claim 1

L47 ANSWER 14 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 125:195641 M

125:195641 MARPAT <u>Full-text</u>

TITLE: Preparation of 5-member heteroaromatic compounds

useful as dopamine receptor-subtype ligands Carling, William Robert; Leeson, Paul David;

Moore, Kevin William

PATENT ASSIGNEE(S):

Merck Sharp and Dohme Limited, UK

SOURCE:

PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent English

LANGUAGE:

Englis

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	rent :	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	ο.	DATE		
WO	9621	 660		 A:	 1	 1996	0718		M(0 19	96-GI	 В6		1996	0103	
	W:	AL,	AM,	AT,	ΑU,	ΑZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,
		EE,	ES,	FI,	GB,	GE,	HU,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LK,	LR,
		LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,
		RU,	SD,	SE,	SG,	SI										
	RW:	ΚE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,
		ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,
		ML,	MR,	NE,	SN											
AU	9643	123		Α		1996	0731		A	U 19	96-4	3123		1996	0103	
US	5939	436							U	S 19	97-8	7505	9	1997	0625	
PRIORIT	Y APP	LN.	INFO	.:			•		G:	в 19	95-5	80		1995	0112	
									W	0 19	96-G	В6		1996	0103	
									W	0 19	97-E	P678		1997	0213	

GΙ

The title compds. [I; Q = substituted 5-7-member monocyclic heteroaliph. ring; R1 = (un)substituted Ph, (un)substituted pyridyl, (un)substituted furyl, etc.; X = N, CR1; Y:Z = N:CR1, N:N, HC:N], which are ligands for dopamine receptor subtypes (e.g., D4; I demonstrate a Ki against the binding of [3H]-spiperone to cloned human D4 dopamine receptor of <1.5 μ M) and are useful in the treatment and/or prevention of schizophrenia (no data) and depression (no data), are prepared Thus, 1-benzyl-4-[(5-methyl-4-phenyl)pyrazol-1-yl]piperidine dihydrochloride, m.p. 198-201°, was prepared from 4-hydroxypiperidine in 5 steps.

MSTR 4

G2---G1

$$G1 = 46$$

$$G2 = 231$$

G6 = 228

½½8 G14

G14 = Me

G16 = S

Patent location:

claim 10

L47 ANSWER 15 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

123:169650 MARPAT Full-text

TITLE:

Preparation of N-(fluroralkoxyphenyl)-2-

pyrimidineamines as drugs

INVENTOR(S):

Zimmermann, Juerg

PATENT ASSIGNEE(S):

Ciba-Geigy A.-G., Switz.

SOURCE:

PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PAT	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON N	ο.	DATE		
									-							
WO	9509	852		A	1	1995	0413		W	0 19	94-E	P314	9	1994	0921	
	W:	AM,	ΑU,	BB,	BG,	BR,	BY,	CA,	CN,	CZ,	EE,	FI,	GE,	ΗU,	JP,	KG,
		KP,	KR,	ΚZ,	LK,	LR,	LT,	LV,	MD,	MG,	MN,	NO,	ΝZ,	PL,	RO,	RU,
		SI,	SK,	ТJ,	TT,	UA,	UZ,	VN								
	RW:	KE,	MW,	SD,	SZ,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,
		LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,
		ΝE,	SN,	TD,	TG											
US	5543	520		Α		1996	0806		U	S 19	94-3	0633	3	1994	0915	
CA	2148	477		Α	1	1995	0413		C.	A 19	94-2	1484	77	1994	0921	

AU 1994-76975 19940921 AU 9476975 Α 19950501 AU 693804 В2 19980709 EP 1994-927633 19940921 EP 672040 19950920 Α1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE JP 08504834 19940921 19960528 JP 1995-510576 PRIORITY APPLN. INFO.: CH 1993-2966 19931001 CH 1994-2278 19940718 WO 1994-EP3149 19940921

OTHER SOURCE(S):

CASREACT 123:169650

GI

$$\mathbb{R}^{1}$$
 \mathbb{R}^{2} \mathbb{R}^{2}

Title compds. [I; R1 = (N-oxido) 4-pyridyl, 3-indolyl, isoquinolyl, thienyl, pyrrolyl; R2 = fluoroalkoxy] were prepared as protein kinase C and tyrosine kinase inhibitors, etc. Thus, 3-(F2HCF2CO)C6H4NH2 was condensed with H2NCN and the guanidine product cyclocondensed with R1COCH:CHNMe2 (R1 = 4-pyridyl) to give I (R1 = 4-pyridyl, R2 = OCF2CHF2). I had IC50 of .apprx.0.1 to 9µmol/L against protein kinase C in vitro.

MSTR 2

G1___C(0)-CH___CH___G3

G1 = thienyl G3 = NH2

Derivative: or salts Patent location: claim 14

L47 ANSWER 16 OF 18 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 121:255263 MARPAT Full-text

TITLE: preparation of acrylonitriles as antifungals

INVENTOR(S): Tokunaga, Yukio; Shibata, Taku; Yoshida, Fumitaka;

Ito, Shigehisa; Suzuki, Chiharu; Sakai,

Mitsuyoshi; Hasegawa, Keisuke; Hayashi, Shigeru

PATENT ASSIGNEE(S): Kumiai Chemical Industry Co, Japan; Ihara Chemical

Ind Co

SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
JP 06087821	Α	19940329	JP 1992-351381	19921208		
JP 3397352	B2	20030414		•		
PRIORITY APPLN. INFO.	:		JP 1992-32877	19920124		
			JP 1992-214695	19920721		

GI

The title compds. [I; R = H, C1-6 alkyl, alkoxycarbonyl; R1 = alkyl, alkenyl, benzyl; Rx = substituted aryl, heterocyclyl; Ry = substituted aryl, pyridinyl, pyrimidinyl] are prepared Thus, a solution of [2-(trifluoromethyl)benzoyl]acetonitrile in DMF containing NaH was stirred at room temperature for 30 min, 3,4-dichlorophenyl isothiocyanate in DMF was added, and the resulting mixture was stirred at room temperature for 2 h to give, after treatment with MeI, I [R = H, R1 = Me, R2 = CF3, R3 = C12-3,4]. This at 500 ppm effected 77% kill against Pyricularia oryzae.

MSTR 1

G1 = thienyl (substd. by (1-2) G4)

G14 = acyl

Patent location: claim 1

L47 ANSWER 17 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 117:69570 MARPAT Full-text

TITLE: Preparation of 1-aryl-3-hydroxylamino-2-propen-1-

ones and analogs as 5-lipoxygenase inhibitors

ones and analogs as 5-lipoxygenase inhibitors

INVENTOR(S): Magolda, Ronald L.; Wright, Stephen W. PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA

PATENT ASSIGNEE(S): Du Pont Merck Pharmaceu SOURCE: U.S., 11 pp.

DOCUMENT TYPE: CODEN: USXXAM Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 5110831 A 19920505 US 1990-621152 19901130

PRIORITY APPLN. INFO.:

US 1990-621152 19901130

AB R1C(:X)CR3:CR4NR5OR7 [R1 = (cyclo)alkyl, OH, alkoxy, NH2, naphthyl, pyridyl, furyl, thienyl, (substituted) Ph, etc.; R3, R4 = H, groups cited for R1; or R3R4 = atoms to complete a ring; R5 = H, Ph, PhCH2, (cyclo)alkyl, etc.; R7 = H, COR8, SO2R8, cation; R8 = groups cited for R1; X = O, S] were prepared thus, 4-(PhH2CO)C6H4COMe was refluxed with Me2NCH(OMe)2 and the product condensed with HONHMe to give 4-RC6H4COCH:CHN(OH)Me (I; R = OCH2Ph). I (R = Ph) had IC50 of 0.06 μM against 5-lipoxygenase in vitro.

MSTR 2A

G1 = 0 G2 = thienyl

G13 = 5

G15 = NH2

Derivative:

Patent location:

Stereochemistry:

and pharmaceutically acceptable salts

disclosure

and stereoisomers

MSTR 2C

G1 = 0

G2 = thienyl

G9 = NH

G10 = 26

2614-G11

G13 = 5

G15 S—G9

G14 = C(0)

Derivative: and pharmaceutically acceptable salts

Patent location: disclosure

Stereochemistry: and stereoisomers

L47 ANSWER 18 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 83:131629 MARPAT Full-text

TITLE: 1-Phenoxy-3-aminopropan-2-ol derivatives
INVENTOR(S): Raabe, Thomas; Graewinger, Otto; Scholtholt,

INVENTOR(S): Raabe, Thomas; Graewinger, Otto; Scholtholt Josef; Nitz, Rolf E.; Schraven, Eckhard

PATENT ASSIGNEE(S): Cassella Farbwerke Mainkur A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 53 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

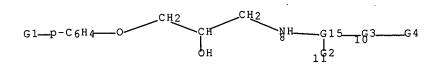
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
DE 2458738	A1	19750626	DE 1974-2458738	19741212		
NL 7416375	Α	19750624	NL 1974-16375	19741216		
JP 50095283	·A	19750729	JP 1974-145066	19741219		
AU 7476662	A	19760624	AU 1974-76662	19741219		
GB 1443488	· A	19760721	GB 1974-54909	19741219		
ES 433129	A1	19770216	ES 1974-433129	19741219		
ES 433130	A1	19770216	ES 1974-433130	19741219		
ES 433128	A1	19770301	ES 1974-433128	19741219		
СН 603598	A5	19780831	CH 1974-16966	19741219		
CH 605825	A5	19781013	CH 1974-16967	19741219		
СН 605826	A5	19781013	CH 1974-16968	19741219		
RO 68394	A1	19810622	RO 1974-80868	19741219		
RO 68396	A1	19810730	RO 1974-80869	19741219		
RO 68395	A1	19820706	RO 1974-80867	19741219		
PL 98633	B1	19780531	PL 1974-176695	19741220		
PRIORITY APPLN. INFO.	:		LU 1973-69042	19731220		

GI For diagram(s), see printed CA Issue.

Pyrimidines I (R = 2-OEt, 4-OBu, 4-NHAc, 4-OC5H11, 2-Cl, 4-Cl, 4-OMe, H, 4-OPr, 4-OCHMe2, 2-OMe, 3-OBu, 2-F, 4-OC8H17, 4-CMe3, 3-Cl, 3-OMe, 4-Br, 4-OEt, 4-OCH2Ph; X = CMe:CHCO) were prepared by treating II with RC6H4OCH2CH(OH)CH2NH2 and were reduced to I (X = CHMeCH2CHOH). I are β -

sympatholytics. Thus I (X = CHMeCH2CH0H, R = 4-OPr) had a β 1-receptor blocking ED50 of 0.0036 mg/kg and a β 2-receptor blocking ED50 of 0.48 mg/kg i.v. in dogs.

MSTR 1



$$G3 = C(0)$$
 $G4 = 2-thienyl$

$$G15 = 76-8 77-10 76-11$$

Patent location:

claims

Note:

record may include structures from disclosure

=> d que 137

L9

STR

NODE ATTRIBUTES:

NSPEC IS RC

AT .

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

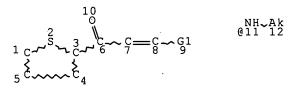
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L11 2111 SE

2111 SEA FILE=REGISTRY SSS FUL L9

L23



VAR G1=NH2/11 NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

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L27	40	SEA	FILE=HCAPLUS ABB	B=ON PLU=ON	L26
L29	78	SEA	FILE=HCAPLUS ABB	B=ON PLU=ON	KOGAMI, K?/AU
L30	5	SEA	FILE=HCAPLUS ABB	B=ON PLU=ON	HAYASHIZAKA, N?/AU
L31	421	SEA	FILE=HCAPLUS ABB	B=ON PLU=ON	SATAKE, S?/AU
L32	2	SEA	FILE=HCAPLUS ABB	B=ON PLU=ON	FUSEYA, I?/AU
L33	37	SEA	FILE=HCAPLUS ABB	B=ON PLU=ON	KAGANO, H?/AU
L34	1	SEA	FILE=HCAPLUS ABB	B=ON PLU=ON	L29 AND L30 AND L31 AND
		L32	AND L33		
L35	1	SEA	FILE=HCAPLUS ABB	B=ON PLU=ON	((L29 OR L30 OR L31 OR
·		L32	OR L33)) AND L27	•	
L36	4	SEA	FILE=HCAPLUS ABB	B=ON PLU=ON	((L29 OR L30 OR L31 OR
		L32	OR L33)) AND THI	ENYL?	

(FILE 'EMBASE, BIOSIS, DRUGU, MEDLINE, WPIX, SCISEARCH, LIFESCI' ENTERED AT 14:09:04 ON 09 JAN 2007)

=> d que 144 33 SEA KOGAMI, K?/AU L39 9 SEA HAYASHIZAKA, N?/AU L40 L41 1500 SEA SATAKE, S?/AU 3 SEA FUSEYA, I?/AU L42 43 SEA KAGANO, H?/AU L43

6 SEA ((L39 OR L40 OR L41 OR L42 OR L43)) AND THIENYL? L44

=> dup rem 137 144

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FILE 'SCISEARCH' ENTERED AT 14:15:34 ON 09 JAN 2007 Copyright (c) 2007 The Thomson Corporation PROCESSING COMPLETED FOR L37 PROCESSING COMPLETED FOR L44 6 DUP REM L37 L44 (4 DUPLICATES REMOVED) L48

ANSWERS '1-4' FROM FILE HCAPLUS ANSWERS '5-6' FROM FILE SCISEARCH

=> d 148 1-6 ibib ab hitstr hitrn ind

L48 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 1

ACCESSION NUMBER:

2004:162681 HCAPLUS Full-text

DOCUMENT NUMBER:

140:199199

TITLE:

Process for preparation of N-monoalkyl-3-hydroxy-3-

(2-thienyl) propanamines Kogami, Kenji; Hayashizaka,

INVENTOR(S):

Noriyuki; Satake, Syuzo;

Fuseya, Ichiro; Kagano, Hirokazu

PATENT ASSIGNEE(S):

Sumitomo Seika Chemicals Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
					_											
WO 2004016603				A1		20040226			WO 2003-JP8950					20	0030715	
	W:	CA,	CN,	JP,	US											
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,
		ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR				•
CA	2493	776			A1		2004	0226	1	CA 2	003-	2493	776 ·		2	0030715
EΡ	1541	569			A1		2005	0615		EP 2	003-	7413	91		2	0030715

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,

PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK

CN 1671686 A 20050921 CN 2003-818466 20030715 US 2005240030 A1 20051027 US 2005-523287 20050203 PRIORITY APPLN. INFO.: JP 2002-229204 A 20020806

WO 2003-JP8950 W 20030715

OTHER SOURCE(S): MARPAT 140:199199

This invention pertains to a method for producing N-monoalkyl-3- hydroxy-3-(2-thienyl) propanamines with general formula of I [where R = alkyl], which comprises reduction of II with NaBH4 or Na(CN)H3. For example, β -oxo- β -(2-thienyl) propanal sodium salt was treated with MeNH2 in MeOH, followed by the addition of aqueous NaOH to give (Z)-N-methyl-3-oxo-3-(2-thienyl)-1-propenamine (74.8%). The propenamine was treated with NaBH4 in PhMe in the presence of AcOH to afford the title compound N-methyl-3-hydroxy-3-(2-thienyl)-1-propanamine (75.0%). By the process, an N-monoalkyl-3-hydroxy-3-(2-thienyl) propanamine useful as an intermediate for various medicines can be industrially and easily produced at low cost.

IT 663603-70-1P

(intermediate; preparation of (thienyl) propanamines via reduction reaction)

RN 663603-70-1 HCAPLUS

CN 2-Propen-1-one, 3-(methylamino)-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 663603-71-2 663603-72-3 663603-73-4

(preparation of (thienyl) propanamines via reduction reaction)

RN 663603-71-2 HCAPLUS

CN 2-Propen-1-one, 3-(ethylamino)-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 663603-72-3 HCAPLUS

CN 2-Propen-1-one, 3-(propylamino)-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 663603-73-4 HCAPLUS CN 2-Propen-1-one, 3-(butylamino)-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX

Double bond geometry as shown.

IT 663603-70-1P

(intermediate; preparation of (thienyl) propanamines via reduction reaction)

IT 663603-71-2 663603-72-3 663603-73-4

(preparation of (thienyl) propanamines via reduction reaction)

IC ICM C07D333-20

ICS C07D333-22

CC 27-8 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 45

ST prepn thienyl propanamine redn

IT Amines, reactions

(monoalkyl; preparation of (thienyl)propanamines via reduction
reaction)

IT Reduction

(preparation of (thienyl) propanamines via reduction reaction)

IT 663603-70-1P

(intermediate; preparation of (thienyl) propanamines via reduction reaction)

IT 116539-56-1P

(preparation of (thienyl)propanamines via reduction reaction)

IT 74-89-5, Methylamine, reactions 75-04-7, Ethylamine, reactions
107-10-8, Propylamine, reactions 109-73-9, Butylamine, reactions
130371-57-2 663603-71-2 663603-72-3

663603-73-4

(préparation of (thienyl) propanamines via reduction reaction)

IT 16940-66-2, Sodium borohydride 25895-60-7, Sodium cyanoborohydride

(preparation of (thienyl)propanamines via reduction reaction)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L48 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 1

1996:35117 HCAPLUS Full-text

DOCUMENT NUMBER:

124:201773

TITLE:

Preparation of N-substituted-hydroxylamines from

α-arvloximes

INVENTOR(S): Kagano, Hirokazu; Itsuda, Hiroshi;

Yamashita, Kazuyoshi; Nakano, Masahito; Kobayashi,

Kazuyuki

PATENT ASSIGNEE(S):

Sumitomo Seika KK, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE-
JP 07267912	Α	19951017	JP 1994-60902	19940330
PRIORITY APPLN. INFO.:	•		JP 1994-60902	19940330

OTHER SOURCE(S): CASREACT 124:201773; MARPAT 124:201773

- AB ACHRNHOH (A = Ph, naphthyl, thienyl, furyl, benzothienyl, benzofuryl; R = H, C1-4 alkyl), useful as intermediates for drugs, e.g. inflammation inhibitors, and agrochems., are prepared by isomerization of (E)-ACR:NOH in solvents in the presence of acids, followed by reduction of the resulting (Z)-ACR:NOH with Am·BH3 [Am = di(C1-4 alkyl)amine, tri(C1-4 alkyl)amine, pyridine]. A mixture of MeOH and 191.2 g (E)-2-acetylbenzo[b]thiophene oxime (I, preparation given, E/Z ratio = 98/2) and MeOH was bubbled with HCl at 15-25° for 2 h to give 187.3 g (Z)-I. Pyridine-BH3 was gradually added to a mixture of MeOH and (Z)-I at 0-5° over 1 h, subsequently a MeOH solution of HCl was added dropwise to the reaction mixture at 0-5° over 3 h, and the reaction mixture was further stirred at 0-5° for 2 h to give 94.1% [based on (E)-I] 1-(benzo[b]thien-2-yl)ethylhydroxylamine.
- IC ICM C07C239-08 ICS C07B035-08; C07D307-52; C07D307-81; C07D333-20; C07D333-58

ICA C07B061-00

- CC 25-5 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds) Section cross-reference(s): 1, 27
- ST hydroximinomethylarene isomerization redn amine borane; arylmethylhydroxylamine prepn intermediate drug agrochem; hydroxylamine arylmethyl intermediate drug agrochem
- IT Inflammation inhibitors

(preparation of N-(1-arylalkyl)hydroxylamines as intermediates for inflammation inhibitors)

- IT 7647-01-0, Hydrogen chloride, uses
 - (preparation of N-(1-arylalkyl)hydroxylamines by isomerization of
 - $(E)-\alpha$ -aryloximes followed by reduction of the resulting
 - (Z)-oximes with amine-borane complexes)
- IT 622-32-2P 3717-23-5P 50314-86-8P

(preparation of N-(1-arylalkyl) hydroxylamines by isomerization of

- $(E)-\alpha$ -aryloximes followed by reduction of the resulting
- (Z)-oximes with amine-borane complexes)
- IT 622-30-0P 2912-98-3P 51307-68-7P 118564-89-9P

(preparation of N-(1-arylalkyl)hydroxylamines by isomerization of

- (E)- α -aryloximes followed by reduction of the resulting
- (Z)-oximes with amine-borane complexes)
- IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses
 - (preparation of N-(1-arylalkyl)hydroxylamines by isomerization of
 - (E)- α -aryloximes followed by reduction of the resulting
 - (Z)-oximes with amine-borane complexes)
- TT 74-94-2, Dimethylamine, compound with borane (1:1) 110-51-0, Pyridine, compound with borane (1:1) 622-31-1 3717-24-6 10341-75-0 22720-75-8, 2-Acetylbenzo[b]thiophene

(preparation of N-(1-arylalkyl)hydroxylamines by isomerization of

```
(E)-\alpha-aryloximes followed by reduction of the resulting
        (Z) -oximes with amine-borane complexes)
     147396-07-4P
IT
                   147396-08-5P
        (preparation of N-(1-arylalkyl)hydroxylamines by isomerization of
        (E)-\alpha-aryloximes followed by reduction of the resulting
        (Z) -oximes with amine-borane complexes)
L48 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 3
ACCESSION NUMBER:
                         1995:389123 HCAPLUS Full-text
DOCUMENT NUMBER:
                         122:249375
TITLE:
                         Synergic extraction equilibrium of Mn(II) with
                         4,4,4-trifluoro-1-(2-thienyl
                         )-1,3-butanedione and neutral multidentate
                         ligands, such as terpyridine and
                         tetraphenyldiphosphane dioxide
                         Satake, Saeko; Tsukahara, Satoshi;
AUTHOR(S):
                         Suzuki, Nobuo
CORPORATE SOURCE:
                         Fac. Sci., Tohoku Univ., Sendai, 980-77, Japan
                         Bulletin of the Chemical Society of Japan (1995),
SOURCE:
                         68(2), 590-3
                         CODEN: BCSJA8; ISSN: 0009-2673
                         Nippon Kagakkai
PUBLISHER:
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
     The extraction equilibrium of Mn(II) was studied in novel synergic extraction
AR
     systems using 4,4,4-trifluoro-1-(2-thienyl)-1,3-butanedione (Htta) and neutral
     multidentate ligands, such as 2,2':6',2''-terpyridine (terpy) and
     tetraphenyldiphosphane dioxide (tpdpo), into benzene. No oxidation of Mn(II)
     and large synergic effect were observed both in the Htta-terpy and Htta-tpdpo
     systems; a quant. extraction of Mn(II) was done, which was not attained with
     Htta only. Mn(II) was extracted as Mn(tta)2(terpy) in the Htta-terpy system,
     where only two nitrogen atoms of terpy coordinate to Mn. In the Htta-tpdpo
     system, two species, i.e. Mn(tta)2(tpdpo) and Mn(tta)2(tpdpo)2, formed in the
     benzene phase, where tpdpo functioned as a unidentate ligand. The adduct
     formation consts. (\betas) and the synergic extraction consts. (\alphas, were
     obtained and compared with those of other related compds.
CC
     68-2 (Phase Equilibriums, Chemical Equilibriums, and Solutions)
    manganese extn trifluorothienylbutanedione terpyridine
ST
     tetraphenyldiphosphane dioxide; trifluoro thienyl
     butanedione extn manganese
     326-91-0
               1054-59-7
                            1148-79-4, 2,2':6',2''-Terpyridine
IT
     16397-91-4, Manganese(2+), properties
        (synergic extraction of Mn(II) with 4,4,4-trifluoro-1-(2-thienyl
        )-1,3-butanedione and multidentate ligands as terpyridine and
        tetraphenyldiphosphane dioxide)
IT
     71-43-2, Benzene, properties
        (synergic extraction of Mn(II) with 4,4,4-trifluoro-1-(2-thienyl
        )-1,3-butanedione and multidentate ligands as terpyridine and
        tetraphenyldiphosphane dioxide in benzene)
L48 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 4
ACCESSION NUMBER:
                         1994:16628 HCAPLUS Full-text
DOCUMENT NUMBER:
                         120:16628
                         Synergic extraction of rare earths(III) with
TITLE:
                         4,4,4-trifluoro-1-(2-thienyl
                         )-1,3-butanedione and nitrogen-involving
                         polydentate ligands as diethylenetriamine and
                         triethylenetetramine
```

AUTHOR(S): Satake, Saeko; Tsukahara, Satoshi;

Suzuki, Nobuo

CORPORATE SOURCE: Fac. Sci., Tohoku Univ., Sendai, 980, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1993),

66(9), 2552-7

CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal LANGUAGE: English

The synergic extraction of rare earths(III), i.e., La, Sm, Tb, and Lu, using 4,4,4-trifluoro-1-(2-thienyl)-1,3-butanedione (Htta) and a nitrogen-involving neutral polydentate ligand, such as diethylenetriamine (dien) or triethylenetetramine (trien), was studied between benzene and aqueous phases. The synergic enhancement of this extraction system was attributed to the formation of an adduct, RE(tta)3dien or RE(tta)3trien. The adduct formation consts. (β S,1) were determined Although β S,1 decreases with the atomic number of RE(III), the detailed tendency of β S,1 depends on the number of nitrogen atoms of the polydentate ligands.

CC 68-2 (Phase Equilibriums, Chemical Equilibriums, and Solutions)

ST rare earth extn fluorothienylbutanedione diethylenetriamine triethylenetetramine

IT Rare earth metals, properties

(extraction of, with fluorothienylbutanedione and nitrogen-involving polydentate)

IT Atomic number

(of rare earth metals, stability of complexes with fluorothienylbutanedione and nitrogen-involving polydentate ligands in relation to)

IT Rare earth metals, compounds

(complexes, with fluorothienylbutanedione and nitrogen-involving polydentate ligands, formation consts. of)

IT 111-40-0, Diethylenetriamine 112-24-3, Triethylenetetramine (extraction by fluorothienylbutanedione and, of rare earth metals)

IT 326-91-0

(extraction by, of rare earth metals, synergic effect of nitrogen-involving polydentate ligands on)

TT 7439-91-0, Lanthanum, properties 7439-94-3, Lutetium, properties 7440-19-9, Samarium, properties 7440-27-9, Terbium, properties (extraction of, with fluorothienylbutanedione and nitrogen-involving polydentate)

T11-40-0D, Diethylenetriamine, rare earth metal complexes 112-24-3D, Triethylenetetramine, rare earth metal complexes 326-91-0D, rare earth metal complexes 7439-91-0D, Lanthanum, complexes with fluorothienylbutanedione and nitrogen-involving polydentate ligands 7439-94-3D, Lutetium, complexes with fluorothienylbutanedione and nitrogen-involving polydentate ligands 7440-19-9D, Samarium, complexes with fluorothienylbutanedione and nitrogen-involving polydentate ligands 7440-27-9D, Terbium, complexes with fluorothienylbutanedione and nitrogen-involving polydentate ligands (formation consts. of)

L48 ANSWER 5 OF 6 SCISEARCH COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: 1999:220630 SCISEARCH Full-text

THE GENUINE ARTICLE: 176AT

TITLE: Synergistic extraction equilibrium of lanthanoids(III)

with 2-thenoyltrifluoroacetone and nitrogen-containing

bidentate ligands, ethylenediamine derivatives

AUTHOR: Satake S; Tsukahara S (Reprint); Suzuki N

CORPORATE SOURCE: Osaka Univ, Grad Sch Sci, Dept Chem, Osaka 5600043,

Japan (Reprint); Tohoku Univ, Fac Sci, Dept Chem, Aoba

Ku, Sendai, Miyagi 9808578, Japan

COUNTRY OF AUTHOR: Japan

SOURCE: SOLVENT EXTRACTION AND ION EXCHANGE, (1999) Vol. 17,

No. 2, pp. 259-275.

ISSN: 0736-6299.

PUBLISHER: MARCEL DEKKER INC, 270 MADISON AVE, NEW YORK, NY 10016

USA.

DOCUMENT TYPE: Article; Journal

LANGUAGE: English

REFERENCE COUNT: 19

ENTRY DATE: Entered STN: 1999

Last Updated on STN: 1999

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

The synergistic extraction of lanthanoids(III), Ln(III), i.e., La, Sm, Tb, Tm and Lu, using 2-thenoyltrifluoroacetone (Htta) sind nitrogen-containing neutral bidentate ligands (S), i.e., three ethylenedi-amine derivatives, such as N,N'-dimethylethylenediamine (dmen), N,N'-diethylethylenediamine (deen) and cis-1,2- cyclohexanediamine (chda), was studied in the benzene and aqueous system. The synergistic enhancement in these extraction systems was mainly attributed to the formation of an adduct, Ln(tta)(3)S, in the benzene phase. The variation of the adduct formation constants ((beta(S,1)) was discussed with the basicity of ligands and structure hindrance around the metal ion.

CC CHEMISTRY, MULTIDISCIPLINARY

STP KeyWords Plus (R): CROWN-ETHERS; 4,4,4-TRIFLUORO-1-(2-THIENYL)-1,3-BUTANEDIONE; THENOYLTRIFLUOROACETONE; 1,10-PHENANTHROLINE; LANTHANIDES; SEPARATION; AMINES; ION

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

L48 ANSWER 6 OF 6 SCISEARCH COPYRIGHT (c) 2007 The Thomson Corporation

on STN

ACCESSION NUMBER: 1997:834989 SCISEARCH Full-text

THE GENUINE ARTICLE: YF152

TITLE: Evaluation of liquid-liquid partition coefficients of

multidentate amines by scaled particle theory

AUTHOR: Tsukahara S (Reprint); Satake S; Suzuki N

CORPORATE SOURCE: TOHOKU UNIV, FAC SCI, DEPT CHEM, AOBA KU, SENDAI,

MIYAGI 98077, JAPAN

COUNTRY OF AUTHOR: JAPAN

SOURCE: SOLVENT EXTRACTION AND ION EXCHANGE, (1997) Vol. 15,

No. 6, pp. 961-973.

ISSN: 0736-6299.

PUBLISHER: MARCEL DEKKER INC, 270 MADISON AVE, NEW YORK, NY 10016

DOCUMENT TYPE: Article; Journal

FILE SEGMENT: PHYS
LANGUAGE: English
REFERENCE COUNT: 32

ENTRY DATE: Entered STN: 1997

Last Updated on STN: 1997

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

For some aliphatic and aromatic bidentate amines, e.g., ethylenediamine derivatives, which were used in the synergistic extraction system, the liquid-liquid partition coefficients (P) were measured between benzene and water phases and discussed together with other aliphatic and aromatic multidentate amines by using the scaled particle theory (SPT). The contributions of three kinds of Gibbs energies, i.e., the cavity formation energy <((G)over bar (c) .)> the dispersion energy <((G)over bar (dis))> and hydrogen-bonding energy <((G)over bar (h))>, to the P values were successfully evaluated. The main factor to determine the P value was

<(G)over bar (h)>(10-55 kJ/mol) in the aqueous phase, but the contributions of <(G) over bar (c)>(6-15 kJ/mol) and <(G) over bar (dis)>(6-28 kJ/mol)were not negligibly small.

CC CHEMISTRY
STP KeyWords Plus (R): SYNERGIC EXTRACTION; WATER SYSTEM; COMPLEXES; THENOYLTRIFLUOROACETONE; NITROGEN; ION; 4,4,4-TRIFLUORO-1-(2-THIENYL) -1, 3-BUTANEDIONE; LANTHANOIDS(III); LIGANDS *ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS*

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    FILE 'REGISTRY' ENTERED AT 13:35:21 ON 09 JAN 2007
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L2
               116539-56-1/BI OR 130371-57-2/BI OR 16940-66-2/BI OR
                25895-60-7/BI OR 663603-70-1/BI OR 663603-71-2/BI OR
                663603-72-3/BI OR 663603-73-4/BI OR 74-89-5/BI OR 75-04-7/B
               I)
L3
               STR
            50 SEA SSS SAM L3
L4
L5
              STR L3
            50 SEA SSS SAM L5
L6
            50 SEA SSS SAM L5
\Gamma8
               STR L5
L9
            50 SEA SSS SAM L9
L10
          2111 SEA SSS FUL L9
L11
             5 SEA ABB=ON PLU=ON L2 AND L11
L12
             7 SEA ABB=ON PLU=ON L2 NOT L12
L13
L14
               STR L5
            20 SEA SUB=L11 SSS SAM L14
L15
           496 SEA SUB=L11 SSS FUL L14
L16
               SAV L11 LAM287/A
             O SEA ABB=ON PLU=ON L16 AND MEDLINE/LC
L17
             O SEA ABB=ON PLU=ON L16 AND EMBASE/LC
L18
             O SEA ABB=ON PLU=ON L16 AND BIOSIS/LC
L19
             O SEA ABB=ON PLU=ON L16 AND DRUGU/LC
L20
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L21
L22
           117 SEA ABB=ON PLU=ON L21(L) PREP/RL
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L23
              STR L14
             3 SEA SUB=L16 SSS SAM L23
L24
L25
             3 SEA SUB=L11 SSS SAM L23
L26
            54 SEA SUB=L11 SSS FUL L23
               SAV L26 LAM287A/A
     FILE 'HCAPLUS' ENTERED AT 14:04:31 ON 09 JAN 2007
            40 SEA ABB=ON PLU=ON L26
L27
            36 SEA ABB=ON PLU=ON L27 AND PREP/RL
L28
               D 36 IBIB HITSTR
               D L1 IBIB
L29
            78 SEA ABB=ON PLU=ON KOGAMI, K?/AU
L30
             5 SEA ABB=ON PLU=ON HAYASHIZAKA, N?/AU
L31
           421 SEA ABB=ON PLU=ON SATAKE, S?/AU
L32
             2 SEA ABB=ON PLU=ON FUSEYA, I?/AU
            37 SEA ABB=ON PLU=ON KAGANO, H?/AU
L33
             1 SEA ABB=ON PLU=ON L29 AND L30 AND L31 AND L32 AND L33
L34
L35
             1 SEA ABB=ON PLU=ON ((L29 OR L30 OR L31 OR L32 OR L33))
               AND L27
L36
             4 SEA ABB=ON PLU=ON ((L29 OR L30 OR L31 OR L32 OR L33))
```

AND THIENYL?

	· · · · · · · · · · · · · · · · · · ·
L37	4 SEA ABB=ON PLU=ON L34 OR L35 OR L36
L38	
поо	33 BEN NED ON 120 ON 120 NOT 237
	THE LEWISCH STOCKS SPICE MEDITURE WITH COLORADOU LIFECOLL
	FILE 'EMBASE, BIOSIS, DRUGU, MEDLINE, WPIX, SCISEARCH, LIFESCI'
	ENTERED AT 14:09:04 ON 09 JAN 2007
L39	33 SEA ABB=ON PLU=ON KOGAMI, K?/AU
L40	9 SEA ABB=ON PLU=ON HAYASHIZAKA, N?/AU
T.41	1500 SEA ABB=ON PLU=ON SATAKE, S?/AU
	3 SEA ABB=ON PLU=ON FUSEYA, I?/AU
	43 SEA ABB=ON PLU=ON KAGANO, H?/AU
L44	6 SEA ABB=ON PLU=ON ((L39 OR L40 OR L41 OR L42 OR L43))
	AND THIENYL?
	FILE 'MARPAT' ENTERED AT 14:10:47 ON 09 JAN 2007
L45	3 SEA SSS SAM L23
	23 SEA SSS FUL L23
L47	18 SEA ABB=ON PLU=ON L46 NOT L27
	FILE 'HCAPLUS, WPIX, SCISEARCH' ENTERED AT 14:15:34 ON 09 JAN 2007
L48	
110	ANSWERS '1-4' FROM FILE HCAPLUS
	ANSWERS '5-6' FROM FILE SCISEARCH

Double bond geometry as shown.

RN 658699-77-5 CAPLUS

CN 2-Propen-1-one, 3-phenyl-3-[(phenylmethyl)amino]-1-(2-thienyl)-, (2Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 658699-78-6 CAPLUS

CN 2-Propen-1-one, 3-[[2-(1H-indol-3-yl)ethyl]amino]-3-phenyl-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

REFERENCE COUNT:

55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L83 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

CORPORATE SOURCE:

2001:730252 CAPLUS Full-text

DOCUMENT NUMBER:

136:118356

TITLE:

Efficient synthesis of functionalized 2H-thiopyrans via hetero-Diels-Alder reactions of an enamino thione

with electrophilic olefins

AUTHOR(S):

Bogdanowicz-Szwed, Krystyna; Budzowski, Artur Department of Organic Chemistry, Jagiellonian

University, Krakow, PL-30060, Pol.

SOURCE:

Monatshefte fuer Chemie (2001), 132(8), 947-957

· CODEN: MOCMB7; ISSN: 0026-9247

PUBLISHER:

Springer-Verlag Wien

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 136:118356

GI

The hetero-Diels-Alder reaction of 3-(dimethylamino)-1-(2-thienyl)-2- propene1-thione (I) (the diene) with β-nitrostyrenes, maleic acid, and fumaric acid
(the dienophiles) yielded 3,4-dihydro-4-(dimethylamino)- 2H-thiopyrans.

Treatment of some of the cycloadducts with acetic acid caused elimination of
dimethylamine to yield stable 2H-thiopyrans, e.g. thienyl(nitro)thiopyrans II
(R = H, Me, MeO). Reaction of I with maleic anhydride gave a cycloadduct
which underwent spontaneous rearrangement to give the thiopyrancarboxamide
III. Cycloaddns. of I to maleimide, N-phenylmaleimide, maleic acid
monoanilide, di-Et maleate, di-Et fumarate, and 5H-furan-2-one in the presence
of acetic anhydride were followed by elimination of dimethylamine to give
stable 2H-thiopyrans.

CC 27-15 (Heterocyclic Compounds (One Hetero Atom))

regioselective stereoselective hetero Diels Alder thienyldimethylaminopropenethione; thienylnitrothiopyran prepn; thiopyran prepn; hetero Diels Alder enamino thione electrophilic olefin; thienyldimethylaminopropenethione prepn elimination dimethylamine; thienylthiopyran prepn; nitrostyrene Diels Alder thienyldimethylaminopropenethione; thiophene nitrovinyl Diels Alder thienyldimethylaminopropenethione; maleate fumarate Diels Alder thienyldimethylaminopropenethione; maleic anhydride Diels Alder thienyldimethylaminopropenethione; maleimide Diels Alder thienyldimethylaminopropenethione; furanone Diels Alder thienyldimethylaminopropenethione

IT Alkenes, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
 (electron-deficient; preparation of thiopyrans via regio-/
 stereoselective hetero-Diels-Alder reactions of
 (dimethylamino)thienylpropenethione with electrophilic olefins)

IT Diels-Alder reaction

(hetero, stereoselective, regioselective; preparation of thiopyrans via regio-/stereoselective hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione with electrophilic olefins)

IT Heterocyclization Regiochemistry

Stereoselective synthesis

(preparation of thiopyrans via regio-/stereoselective hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione with electrophilic olefins)

IT 108-31-6, Maleic anhydride, reactions 110-16-7, Maleic acid, reactions 110-17-8, Fumaric acid, reactions 141-05-9, Diethyl malonate 497-23-4, 2(5H)-Furanone 541-59-3, Maleimide 555-59-9, Maleic acid monoanilide

623-91-6, Diethyl fumarate 941-69-5, N-Phenylmaleimide 5153-67-3, trans-β-Nitrostyrene 5153-70-8 5153-68-4 5576-97-6 5576-98-7 34312-77-1 154321-55-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of thiopyrans via regio-/stereoselective

hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione with electrophilic olefins)

391257-87-7P 391257-88-8P 391257-90-2P IT 391257-86-6P 391258-03-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiopyrans via regio-/stereoselective

hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione

with electrophilic olefins)

391257-94-6P IΤ 391257-92-4P 391257-96-8P 391257-98-0P 391258-00-7P 391258-05-2P 391258-07-4P 391258-09-6P 391258-11-0P 391258-12-1P

391258-13-2P 391258-15-4P 391258-16-5P 391258-18-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of thiopyrans via regio-/stereoselective

hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione with electrophilic olefins)

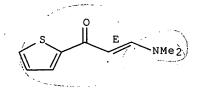
ΙT 154321-55-8

> RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of thiopyrans via regio-/stereoselective hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione with electrophilic olefins)

154321-55-8 CAPLUS RN

2-Propen-1-one, 3-(dimethylamino)-1-(2-thienyl)-, (2E)- (9CI) (CA INDEX CN NAME)

Double bond geometry as shown.



- REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 1920 Land

L83 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2000:136780 CAPLUS Full-text

DOCUMENT NUMBER:

132:308302

TITLE:

Diels-Alder in heterocyclic synthesis: a novel

synthesis of cycloalkanopyridazinimine, 1,7-alkanothienopyridazines and 1,8alkanophthalazines: new ring system

AUTHOR(S):

Al-Omran, Fatima; Al-Awadhi, Nouria; Elassar,

Abdelzaher A.; El-Khair, Adel A.

CORPORATE SOURCE:

Chem. Dep., Fac. Sci., Kuwait Univ., Kuwait, 13060,

Kuwait

SOURCE:

Journal of Chemical Research, Synopses (2000), (1),

20-21, 237-258

CODEN: JRPSDC; ISSN: 0308-2342

PUBLISHER:

Science Reviews Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English